

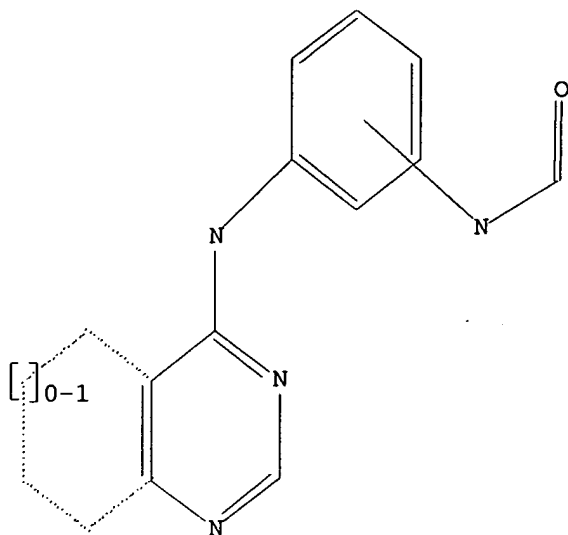
L Number	Hits	Search Text	DB	Time stamp
1	2514	((544/117) or (544/118) or (544/258) or (544/262) or (544/277) or (544/278) or (544/279) or (544/280)).CCLS.	USPAT; US-PGPUB; EPO; JPO; DERWENT	2003/01/26 11:05
2	757	((514/234.2) or (514/260.1) or (514/262.1) or (514/263.4) or (514/264.11)).CCLS.	USPAT; US-PGPUB; EPO; JPO; DERWENT	2003/01/26 11:06
3	2900	((544/117) or (544/118) or (544/258) or (544/262) or (544/277) or (544/278) or (544/279) or (544/280)).CCLS.) or ((514/234.2) or (514/260.1) or (514/262.1) or (514/263.4) or (514/264.11)).CCLS.)	USPAT; US-PGPUB; EPO; JPO; DERWENT	2003/01/26 11:06

L4            STRUCTURE    UPLOADED

$$\Rightarrow d \mid 14$$

L4 HAS NO ANSWERS

L4	STR
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=> s 14 sub=13 sss sam

SAMPLE SUBSET SEARCH INITIATED 11:48:04 FILE 'REGISTRY'

SAMPLE SUBSET SCREEN SEARCH COMPLETED - 34 TO ITERATE

100.0% PROCESSED      34 ITERATIONS

31 ANSWERS

SEARCH TIME: 00.00.01

PROJECTIONS (WITHIN SPECIFIED SUBSET):

ONLINE    \*\*COMPLETE\*\*

PROJECTED ITERATIONS (WITHIN SPECIFIED SUBSET):

331 TO 1029

PROJECTED ANSWERS (WITHIN SPECIFIED SUBSET):

286 TO 954

L5                    31 SEA SUB=L3 SSS SAM L4

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FULL SUBSET SEARCH INITIATED 11:48:12 FILE 'REGISTRY'

FULL SUBSET SCREEN SEARCH COMPLETED - 738 TO ITERATE

100.0% PROCESSED      738 ITERATIONS

654 ANSWERS

SEARCH TIME: 00.00.01

L6 654 SEA SUB=L3 SSS FUL L4

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L7                      84 L3 NOT L6

(G1 = C removed).

=> s 17

L8            21 L7

=> d 18 1-21 bib,ab,hitstr

L8 ANSWER 1 OF 21 CAPLUS COPYRIGHT 2003 ACS  
 AN 2001:101141 CAPLUS  
 DN 134:163051  
 TI Preparation of anilinopurine derivatives as inhibitors of tyrosine protein kinase syk  
 IN Collingwood, Stephen Paul; Hayler, Judy; Le Grand, Darren Mark; Mattes, Henri; Menear, Keith Allan; Walker, Clive Victor; Cockcroft, Xiao-ling  
 PA Novartis Ag, Switz.; Novartis-Erfindungen Verwaltungsgesellschaft M.B.H.  
 SO PCT Int. Appl., 70 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

*not prior art.*

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001009134	A1	20010208	WO 2000-EP7311	20000728
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG BR 2000012888 A 20020409 BR 2000-12888 20000728 EP 1200435 A1 20020502 EP 2000-953112 20000728 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL NO 2002000467 A 20020320 NO 2002-467 20020129 PRAI GB 1999-18035 A 19990730 WO 2000-EP7311 W 20000728				

OS MARPAT 134:163051

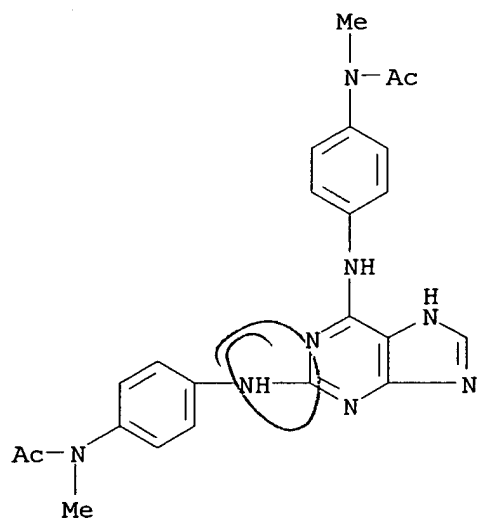
AB The title compds. (I) [wherein X = O, S, or NR5; R1 = (un)substituted (cyclo)alkyl, alkenyl, benzocycloalkyl, cycloalkylalkyl, or aralkyl; R2, R3, and R4 = independently H, halo, (halo)alkyl, alkoxy, carboxy, alkoxycarbonyl(alkyl), carboxyalkyl, or (un)substituted amino, sulfamoyl(alkyl), or carbamoyl; or two of R2, R3, and R4 form a carbocyclic or heterocyclic ring together with the C atoms to which they are attached; R5 = H or alkyl] in free or salt form were prepd. for use as pharmaceuticals, particularly for the treatment of inflammatory or obstructive airways disease. For example, cyclopropylamine and N,N-diisopropylethylamine were added to 2,6-dichloropurine in n-BuOH to give 6-cyclopropylamino-2-chloropurine. The chloropurine was stirred with 4-morpholinoaniline in the presence of N,N-diisopropylethylamine in NMP at 130.degree.C for 48 h to give II, which inhibited phosphorylation by syk kinase with an IC50 of 9 nM.

IT 325166-61-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (target compd.; prepn. of anilinopurine tyrosine protein kinase syk inhibitors by addn. of anilines and amines, alcs., or thiols to dichloropurines)

RN 325166-61-8 CAPLUS

CN Acetamide, N,N'-[1H-purine-2,6-diylbis(imino-4,1-phenylene)]bis[N-methyl-(9CI) (CA INDEX NAME)

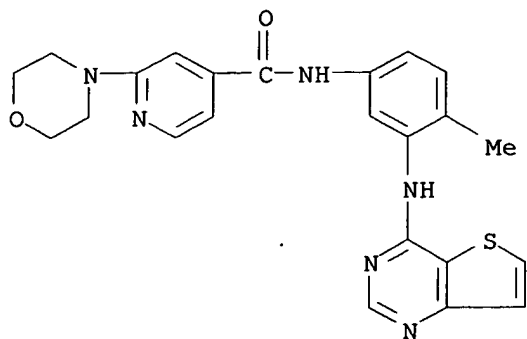


RE.CNT 14      THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 2 OF 21 CAPLUS COPYRIGHT 2003 ACS  
 AN 2000:688241 CAPLUS  
 DN 133:252455  
 TI Preparation of pyridine and pyrimidine derivatives as inhibitors of  
 cytokine mediated disease  
 IN Cumming, John Graham  
 PA Astrazeneca Ab, Swed.  
 SO PCT Int. Appl., 64 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

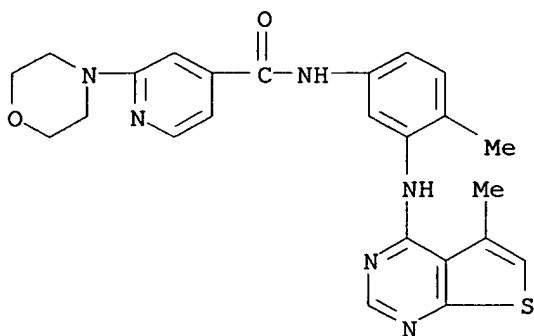
*Appl. PCT*

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000056738	A1	20000928	WO 2000-GB1006	20000317
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
	BR 2000009223	A	20011226	BR 2000-9223	20000317
	EP 1165566	A1	20020102	EP 2000-912750	20000317
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
	JP 2002540112	T2	20021126	JP 2000-606599	20000317
	NO 2001004589	A	20011121	NO 2001-4589	20010921
PRAI	GB 1999-6566	A	19990323		
	WO 2000-GB1006	W	20000317		
OS	MARPAT 133:252455				
AB	The title compds. [I; G = N, CH, C(CN); ring X = a 5-6 membered fused heteroaryl ring which contains 1-3 heteroatoms selected from O, S and N; m = 0-2; R1 = OH, halo, CF3, etc.; R2, R3 = H, halo, alkyl, etc.; R4 = H, OH, alkyl, etc.; R5 = H, halo, CF3, etc.; q = 0-4], useful in the treatment of diseases or medical conditions mediated by cytokines, were prepd. and formulated. E.g., a multi-step synthesis of thieno[3,2-d]pyrimidine II which showed IC50 of 0.06 against p38.alpha., was given.				
IT	295776-70-4P 295776-71-5P 295776-72-6P 295776-73-7P 295776-74-8P 295776-75-9P 295776-76-0P 295776-77-1P 295776-78-2P RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of pyridine and pyrimidine derivs. as inhibitors of cytokine mediated disease)				
RN	295776-70-4 CAPLUS				
CN	4-Pyridinecarboxamide, N-[4-methyl-3-(thieno[3,2-d]pyrimidin-4-ylamino)phenyl]-2-(4-morpholinyl)- (9CI) (CA INDEX NAME)				



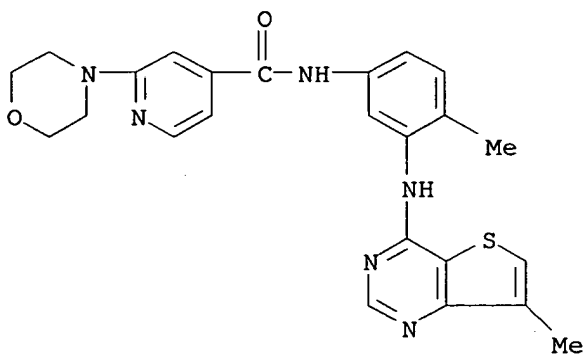
RN 295776-71-5 CAPLUS

CN 4-Pyridinecarboxamide, N-[4-methyl-3-[(5-methylthieno[2,3-d]pyrimidin-4-yl)amino]phenyl]-2-(4-morpholinyl)- (9CI) (CA INDEX NAME)



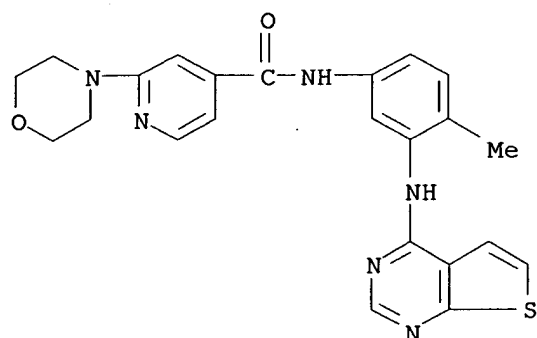
RN 295776-72-6 CAPLUS

CN 4-Pyridinecarboxamide, N-[4-methyl-3-[(7-methylthieno[3,2-d]pyrimidin-4-yl)amino]phenyl]-2-(4-morpholinyl)- (9CI) (CA INDEX NAME)



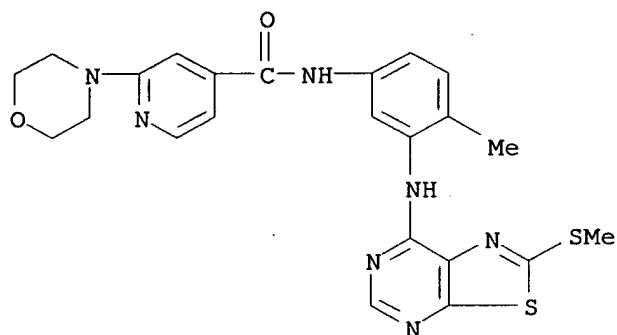
RN 295776-73-7 CAPLUS

CN 4-Pyridinecarboxamide, N-[4-methyl-3-(thieno[2,3-d]pyrimidin-4-ylamino)phenyl]-2-(4-morpholinyl)- (9CI) (CA INDEX NAME)



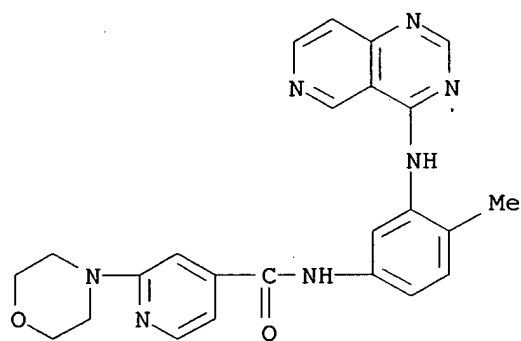
RN 295776-74-8 CAPLUS

CN 4-Pyridinecarboxamide, N-[4-methyl-3-[[2-(methylthio)thiazolo[5,4-d]pyrimidin-7-yl]amino]phenyl]-2-(4-morpholinyl)- (9CI) (CA INDEX NAME)



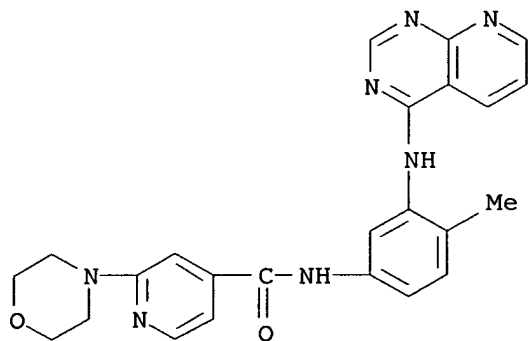
RN 295776-75-9 CAPLUS

CN 4-Pyridinecarboxamide, N-[4-methyl-3-(pyrido[4,3-d]pyrimidin-4-ylamino)phenyl]-2-(4-morpholinyl)- (9CI) (CA INDEX NAME)



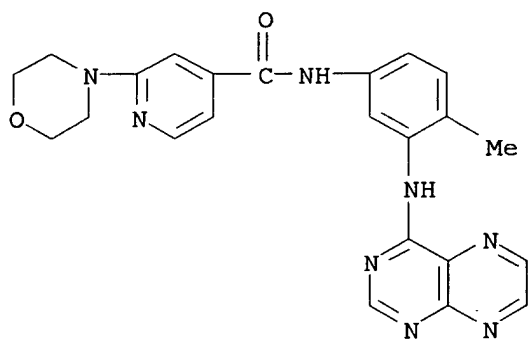
RN 295776-76-0 CAPLUS

CN 4-Pyridinecarboxamide, N-[4-methyl-3-(pyrido[2,3-d]pyrimidin-4-ylamino)phenyl]-2-(4-morpholinyl)- (9CI) (CA INDEX NAME)



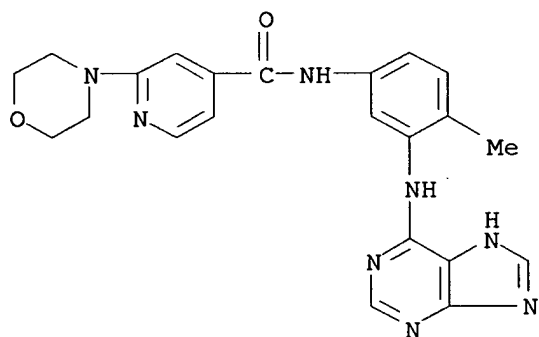
RN 295776-77-1 CAPLUS

CN 4-Pyridinecarboxamide, N-[4-methyl-3-(4-pteridinylamino)phenyl]-2-(4-morpholinyl)- (9CI) (CA INDEX NAME)



RN 295776-78-2 CAPLUS

CN 4-Pyridinecarboxamide, N-[4-methyl-3-(1H-purin-6-ylamino)phenyl]-2-(4-morpholinyl)- (9CI) (CA INDEX NAME)



RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 3 OF 21 CAPLUS COPYRIGHT 2003 ACS  
 AN 2000:592718 CAPLUS  
 DN 133:193164  
 TI Preparation of 2-amino-6-anilinopurines as inhibitors of p34cdc2/cyclin  
 Bcdcl3 kinase and protein tyrosine kinase pp60c-src.  
 IN Imbach, Patricia; Capraro, Hans-Georg; Zimmermann, Jurg; Caravatti,  
 Giorgio; Furet, Pascal; Brill, Wolfgang Karl-Diether  
 PA Novartis A.-G., Switz.; Novartis-Erfindungen  
 SO PCT Int. Appl., 100 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

PATENT NO.      'KIND      DATE      APPLICATION NO.      DATE

PI WO 2000049018      A1      20000824      WO 2000-EP1271      20000216

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU,  
 CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL,  
 IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA,  
 MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI,  
 SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM,  
 AZ, BY, KG, KZ, MD, RU, TJ, TM  
 RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE,  
 DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,  
 CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

BR 2000008365      A      20011113      BR 2000-8365      20000216  
 EP 1153024      A1      20011114      EP 2000-916840      20000216

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
 IE, SI, LT, LV, FI, RO

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 US 2002016329      A1      20020207      US 2001-927322      20010810

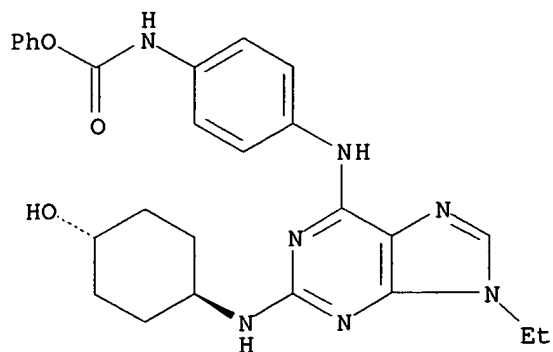
PRAI GB 1999-3762      A      19990218  
 WO 2000-EP1271      W      20000216

OS MARPAT 133:193164

AB Title compds. [I; q = 1-5; R1 = SONR6R7, SO2NR6R7, aralkylcarbamoyl, etc.;  
 R2 = H, carbamoyl, alkylcarbamoyl; R3 = (substituted) aliphatyl; R5 amino,  
 OH, PhO, alkoxy, acyl, substituted aliphatyl, carbocyclyl, heterocyclyl,  
 etc.; R4 = H, R5; R4R5, R6R7 = (substituted) alkylene, alkenylene  
 optionally interrupted by O, S, N; R6, R7 = H, aliphatyl, carbocyclyl,  
 heterocyclyl, etc.; with provisos], were prepd. Thus,  
 6-(4-butylaminosulfonylphenylamino)-2-chloro-9-ethyl-9H-purine, diglyme  
 and cis-2-aminocyclohexanecarboxamide were heated at 160.degree. in a  
 sealed tube to give 32% cis-2-[6-(4-butylaminosulfonylphenylamino)-9-ethyl-  
 9H-purin-2-yl-amino]cyclohexanecarboxylic acid amide. I at 0.001-10 .mu.M  
 inhibited protein tyrosine kinase pp60c-src.

IT 289479-82-9P 289479-83-0P 289479-85-2P  
 289479-86-3P 289479-87-4P 289479-88-5P  
 289479-89-6P 289479-90-9P 289479-91-0P  
 289479-92-1P 289479-93-2P 289479-94-3P  
 289479-95-4P 289479-96-5P 289479-97-6P  
 289479-98-7P 289479-99-8P 289480-00-8P  
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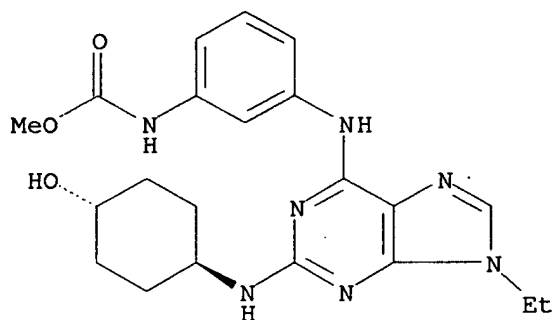
RL: BAC (Biological activity or effector, except adverse); BSU (Biological  
 study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);  
 BIOL (Biological study); PREP (Preparation); USES (Uses)



RN 289479-86-3 CAPLUS

CN Carbamic acid, [3-[[9-ethyl-2-[(trans-4-hydroxycyclohexyl)amino]-9H-purin-6-yl]amino]phenyl]-, methyl ester (9CI) (CA INDEX NAME)

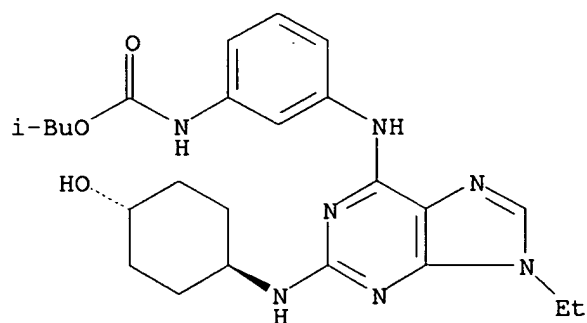
Relative stereochemistry.



RN 289479-87-4 CAPLUS

CN Carbamic acid, [3-[[9-ethyl-2-[(trans-4-hydroxycyclohexyl)amino]-9H-purin-6-yl]amino]phenyl]-, 2-methylpropyl ester (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 289479-88-5 CAPLUS

CN Carbamic acid, [3-[[9-ethyl-2-[(trans-4-hydroxycyclohexyl)amino]-9H-purin-6-yl]amino]phenyl]-, phenyl ester (9CI) (CA INDEX NAME)

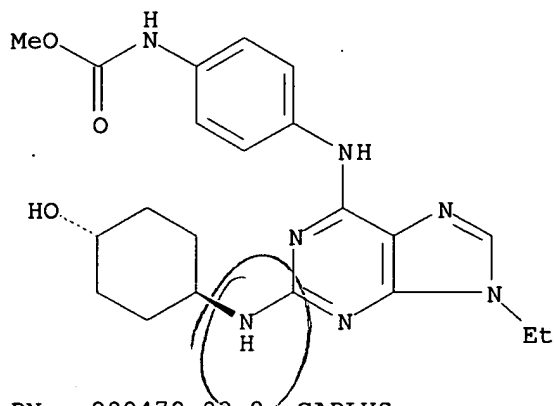
Relative stereochemistry.

(prepn. of 2-amino-6-anilinopurines as inhibitors of p34cdc2/cyclin  
Bcdcl3 kinase and protein tyrosine kinase pp60c-src)

RN 289479-82-9 CAPLUS

CN Carbamic acid, [4-[[9-ethyl-2-[(trans-4-hydroxycyclohexyl)amino]-9H-purin-6-yl]amino]phenyl]-, methyl ester (9CI) (CA INDEX NAME)

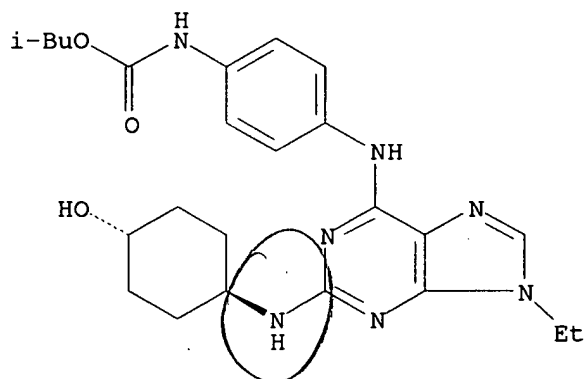
Relative stereochemistry.



RN 289479-83-0 CAPLUS

CN Carbamic acid, [4-[[9-ethyl-2-[(trans-4-hydroxycyclohexyl)amino]-9H-purin-6-yl]amino]phenyl]-, 2-methylpropyl ester (9CI) (CA INDEX NAME)

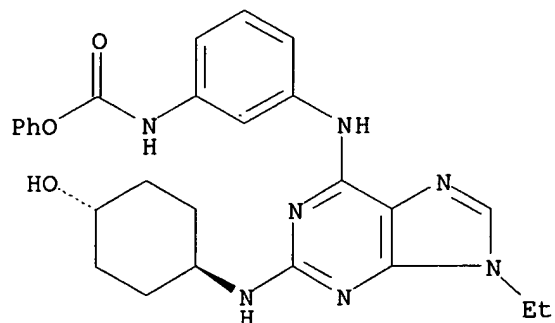
Relative stereochemistry.



RN 289479-85-2 CAPLUS

CN Carbamic acid, [4-[[9-ethyl-2-[(trans-4-hydroxycyclohexyl)amino]-9H-purin-6-yl]amino]phenyl]-, phenyl ester (9CI) (CA INDEX NAME)

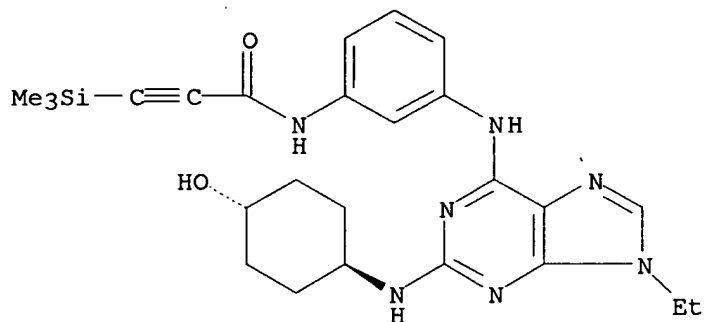
Relative stereochemistry.



RN 289479-89-6 CAPLUS

CN 2-Propynamide, N-[3-[[9-ethyl-2-[(trans-4-hydroxycyclohexyl)amino]-9H-purin-6-yl]amino]phenyl]-3-(trimethylsilyl)- (9CI) (CA INDEX NAME)

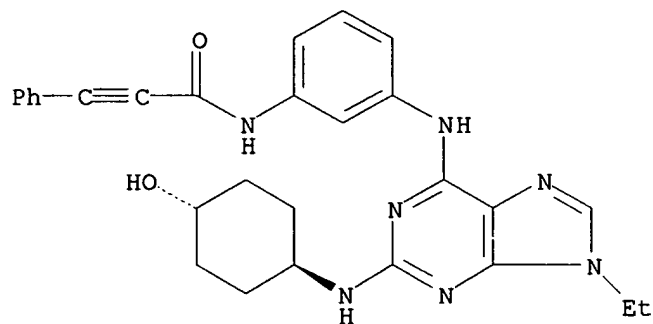
Relative stereochemistry.



RN 289479-90-9 CAPLUS

CN 2-Propynamide, N-[3-[[9-ethyl-2-[(trans-4-hydroxycyclohexyl)amino]-9H-purin-6-yl]amino]phenyl]-3-phenyl- (9CI) (CA INDEX NAME)

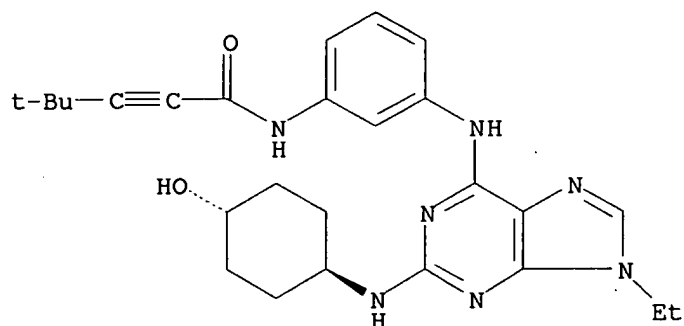
Relative stereochemistry.



RN 289479-91-0 CAPLUS

CN 2-Pentynamide, N-[3-[[9-ethyl-2-[(trans-4-hydroxycyclohexyl)amino]-9H-purin-6-yl]amino]phenyl]-4,4-dimethyl- (9CI) (CA INDEX NAME)

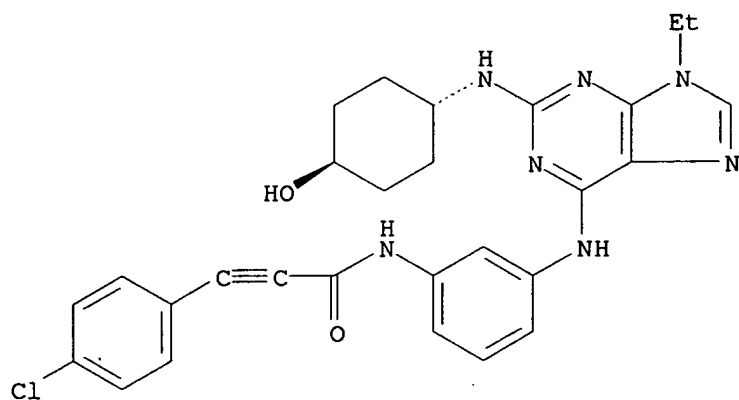
Relative stereochemistry.



RN 289479-92-1 CAPLUS

CN 2-Propynamide, 3-(4-chlorophenyl)-N-[3-[[9-ethyl-2-[(trans-4-hydroxycyclohexyl)amino]-9H-purin-6-yl]amino]phenyl]- (9CI) (CA INDEX NAME)

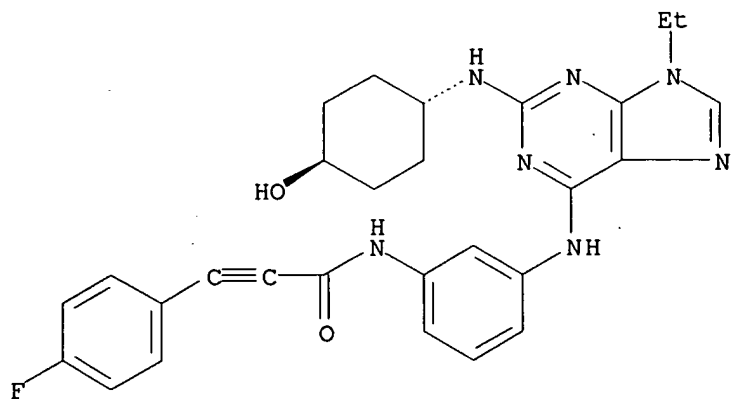
Relative stereochemistry.



RN 289479-93-2 CAPLUS

CN 2-Propynamide, N-[3-[[9-ethyl-2-[(trans-4-hydroxycyclohexyl)amino]-9H-purin-6-yl]amino]phenyl]-3-(4-fluorophenyl)- (9CI) (CA INDEX NAME)

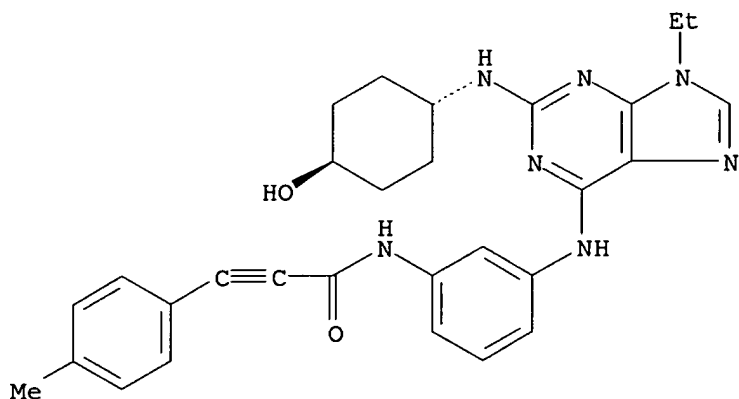
Relative stereochemistry.



RN 289479-94-3 CAPLUS

CN 2-Propynamide, N-[3-[[9-ethyl-2-[(trans-4-hydroxycyclohexyl)amino]-9H-purin-6-yl]amino]phenyl]-3-(4-methylphenyl)- (9CI) (CA INDEX NAME)

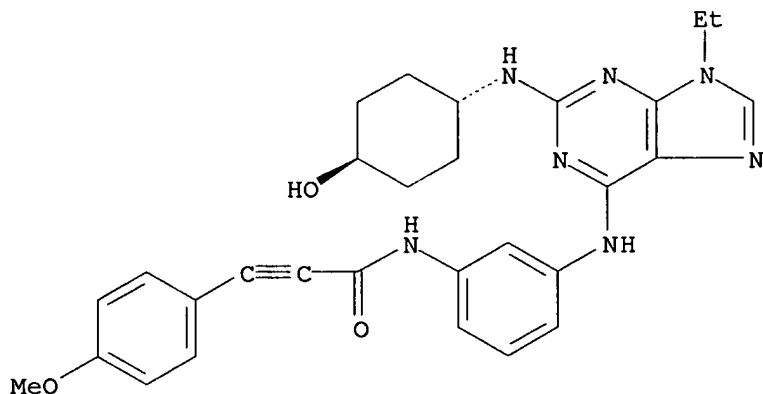
Relative stereochemistry.



RN 289479-95-4 CAPLUS

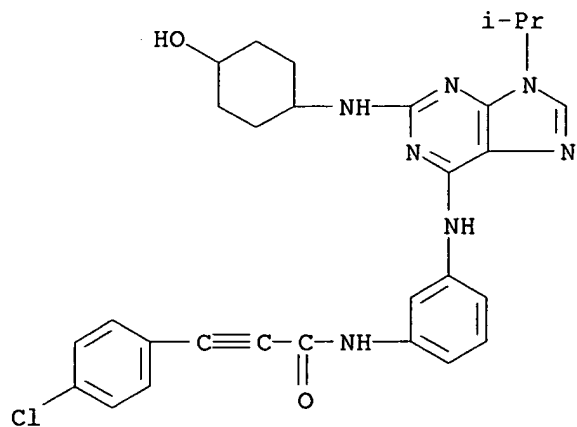
CN 2-Propynamide, N-[3-[[9-ethyl-2-[(trans-4-hydroxycyclohexyl)amino]-9H-purin-6-yl]amino]phenyl]-3-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



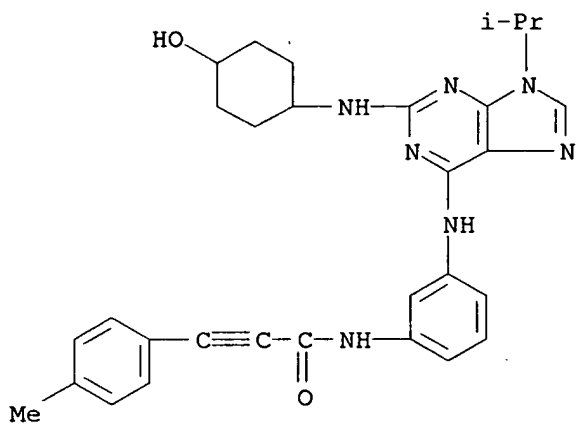
RN 289479-96-5 CAPLUS

CN 2-Propynamide, 3-(4-chlorophenyl)-N-[3-[[2-[(4-hydroxycyclohexyl)amino]-9-(1-methylethyl)-9H-purin-6-yl]amino]phenyl]- (9CI) (CA INDEX NAME)



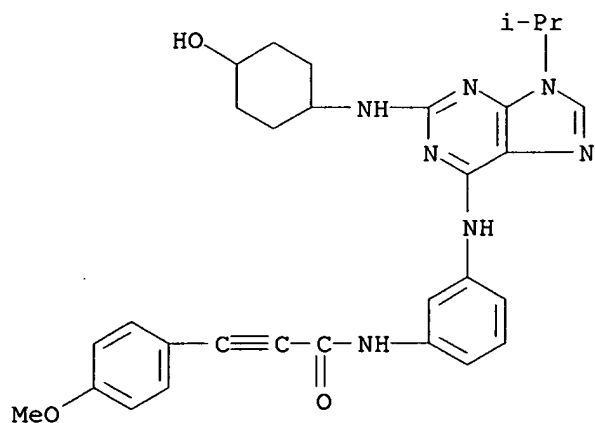
RN 289479-97-6 CAPLUS

CN 2-Propynamide, N-[3-[[2-[(4-hydroxycyclohexyl)amino]-9-(1-methylethyl)-9H-purin-6-yl]amino]phenyl]-3-(4-methylphenyl)- (9CI) (CA INDEX NAME)



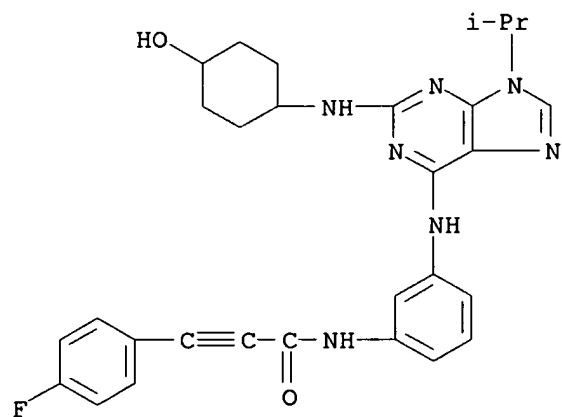
RN 289479-98-7 CAPLUS

CN 2-Propynamide, N-[3-[[2-[(4-hydroxycyclohexyl)amino]-9-(1-methylethyl)-9H-purin-6-yl]amino]phenyl]-3-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)



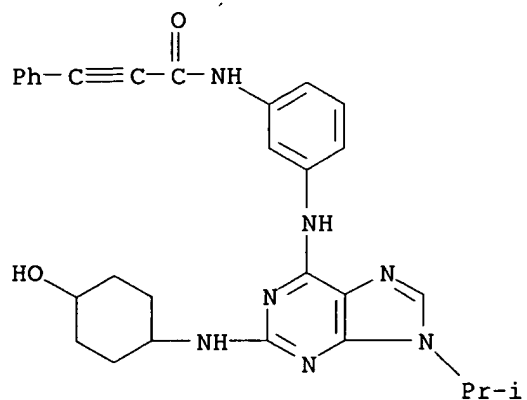
RN 289479-99-8 CAPLUS

CN 2-Propynamide, 3-(4-fluorophenyl)-N-[3-[[2-[(4-hydroxycyclohexyl)amino]-9-(1-methylethyl)-9H-purin-6-yl]amino]phenyl]- (9CI) (CA INDEX NAME)



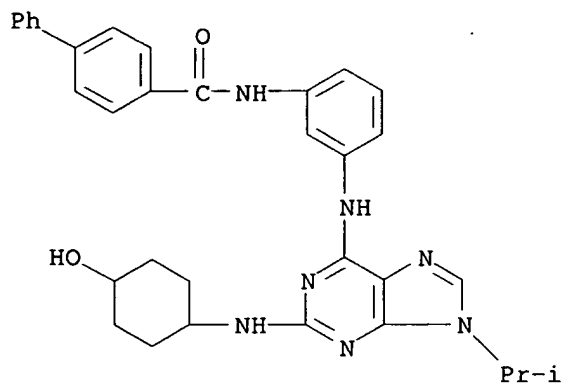
RN 289480-00-8 CAPLUS

CN 2-Propynamide, N-[3-[[2-[(4-hydroxycyclohexyl)amino]-9-(1-methylethyl)-9H-purin-6-yl]amino]phenyl]-3-phenyl- (9CI) (CA INDEX NAME)



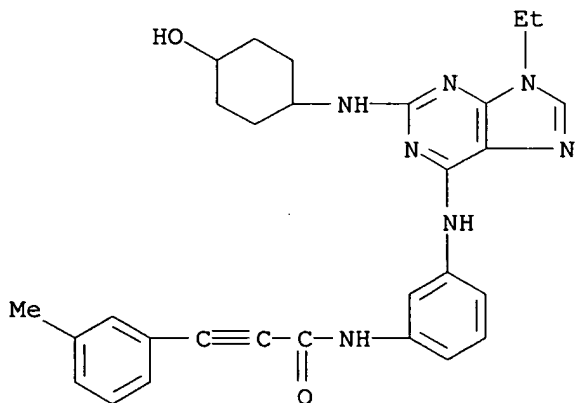
RN 289480-01-9 CAPLUS

CN [1,1'-Biphenyl]-4-carboxamide, N-[3-[[2-[(4-hydroxycyclohexyl)amino]-9-(1-methylethyl)-9H-purin-6-yl]amino]phenyl]- (9CI) (CA INDEX NAME)



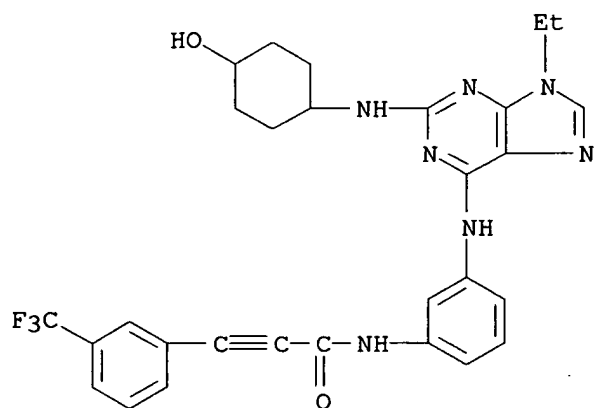
RN 289480-02-0 CAPLUS

CN 2-Propynamide, N-[3-[[9-ethyl-2-[(4-hydroxycyclohexyl)amino]-9H-purin-6-yl]amino]phenyl]-3-(3-methylphenyl)- (9CI) (CA INDEX NAME)



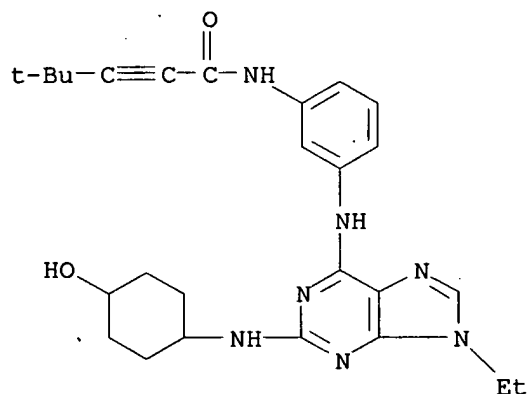
RN 289480-03-1 CAPLUS

CN 2-Propynamide, N-[3-[[9-ethyl-2-[(4-hydroxycyclohexyl)amino]-9H-purin-6-yl]amino]phenyl]-3-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



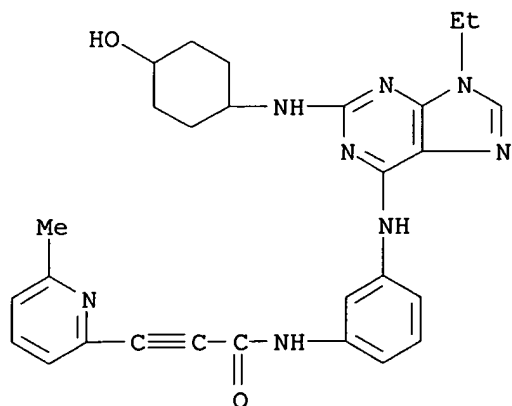
RN 289480-04-2 CAPLUS

CN 2-Pentynamide, N-[3-[[9-ethyl-2-[(4-hydroxycyclohexyl)amino]-9H-purin-6-yl]amino]phenyl]-4,4-dimethyl- (9CI) (CA INDEX NAME)



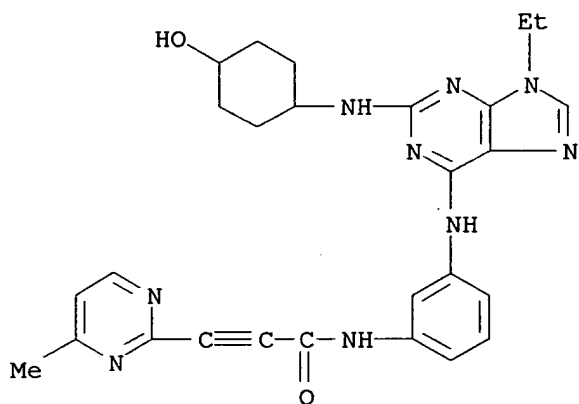
RN 289480-05-3 CAPLUS

CN 2-Propynamide, N-[3-[[9-ethyl-2-[(4-hydroxycyclohexyl)amino]-9H-purin-6-yl]amino]phenyl]-3-(6-methyl-2-pyridinyl)- (9CI) (CA INDEX NAME)



RN 289480-06-4 CAPLUS

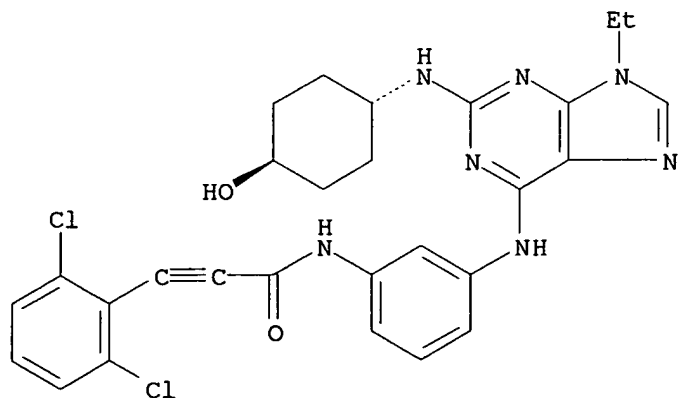
CN 2-Propynamide, N-[3-[[9-ethyl-2-[(4-hydroxycyclohexyl)amino]-9H-purin-6-yl]amino]phenyl]-3-(4-methyl-2-pyrimidinyl)- (9CI) (CA INDEX NAME)



RN 289480-07-5 CAPLUS

CN 2-Propynamide, 3-(2,6-dichlorophenyl)-N-[3-[[9-ethyl-2-[(trans-4-hydroxycyclohexyl)amino]-9H-purin-6-yl]amino]phenyl]- (9CI) (CA INDEX NAME)

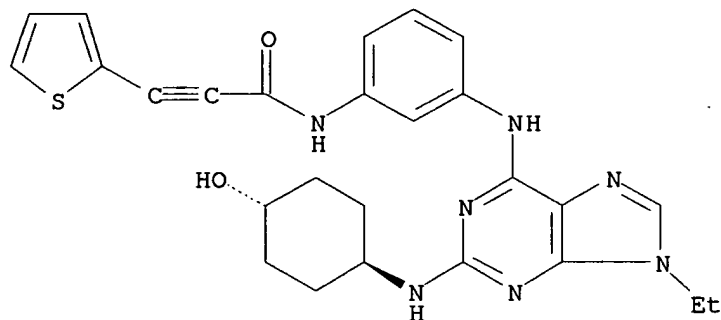
Relative stereochemistry.



RN 289480-08-6 CAPLUS

CN 2-Propynamide, N-[3-[[9-ethyl-2-[(trans-4-hydroxycyclohexyl)amino]-9H-purin-6-yl]amino]phenyl]-3-(2-thienyl)- (9CI) (CA INDEX NAME)

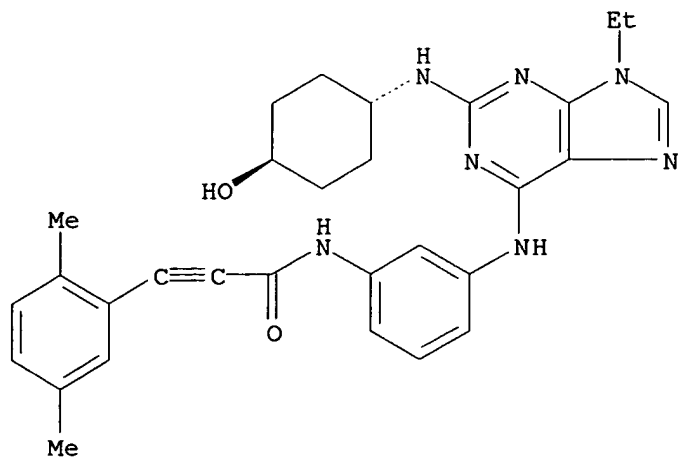
Relative stereochemistry.



RN 289480-09-7 CAPLUS

CN 2-Propynamide, 3-(2,5-dimethylphenyl)-N-[3-[[9-ethyl-2-[(trans-4-hydroxycyclohexyl)amino]-9H-purin-6-yl]amino]phenyl]- (9CI) (CA INDEX NAME)

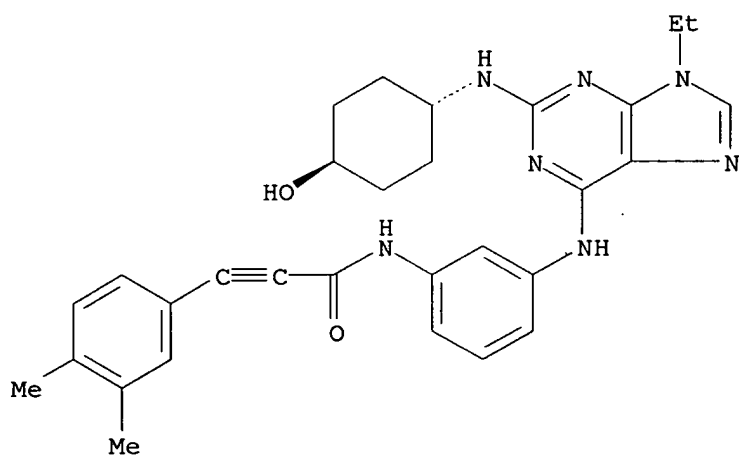
Relative stereochemistry.



RN 289480-10-0 CAPLUS

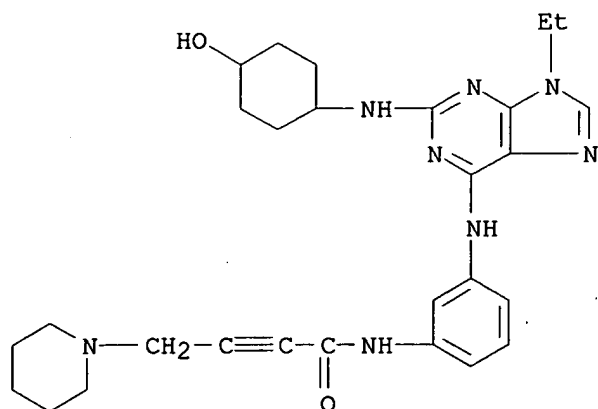
CN 2-Propynamide, 3-(3,4-dimethylphenyl)-N-[3-[[9-ethyl-2-[(trans-4-hydroxycyclohexyl)amino]-9H-purin-6-yl]amino]phenyl]-(9CI) (CA INDEX NAME)

Relative stereochemistry.



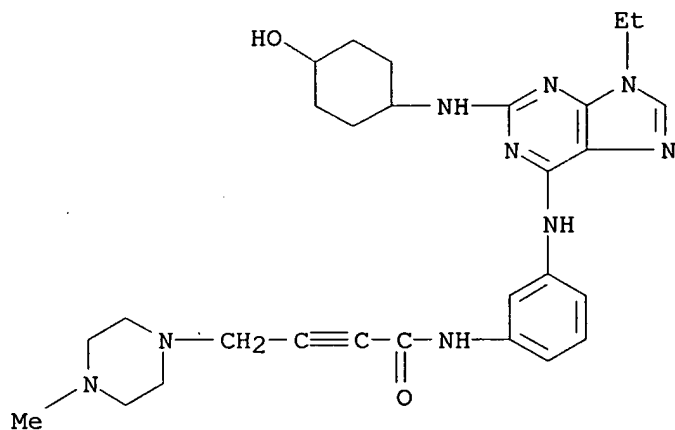
RN 289480-11-1 CAPLUS

CN 2-Butynamide, N-[3-[[9-ethyl-2-[(4-hydroxycyclohexyl)amino]-9H-purin-6-yl]amino]phenyl]-4-(1-piperidinyl)-(9CI) (CA INDEX NAME)



RN 289480-12-2 CAPLUS

CN 2-Butynamide, N-[3-[[9-ethyl-2-[(4-hydroxycyclohexyl)amino]-9H-purin-6-yl]amino]phenyl]-4-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)

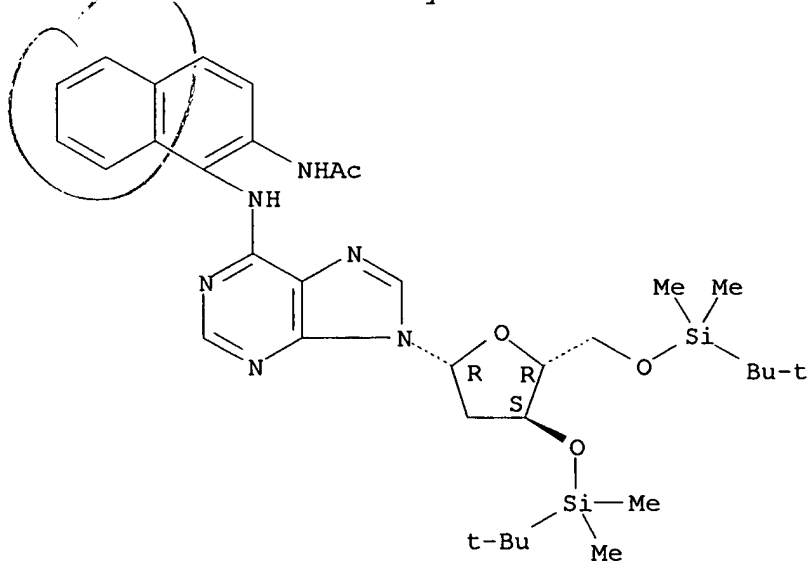


RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 4 OF 21 CAPLUS COPYRIGHT 2003 ACS  
 AN 1999:694900 CAPLUS  
 DN 132:64470  
 TI A General Method for the Synthesis of the N2- and N6- Carcinogenic Amine Adducts of 2'-Deoxyguanosine and 2'-Deoxyadenosine  
 AU De Riccardis, Francesco; Bonala, Radha R.; Johnson, Francis  
 CS Department of Pharmacological Sciences, State University of New York at Stony Brook, Stony Brook, NY, 11794-3400, USA  
 SO Journal of the American Chemical Society (1999), 121(45), 10453-10460  
 CODEN: JACSAT; ISSN: 0002-7863  
 PB American Chemical Society  
 DT Journal  
 LA English  
 AB A no. of simple arylamino compds. are well-established as pro-carcinogenic agents. Metabolic activation leads to a series of unstable N-hydroxy derivs. that on solvolysis, give nitrenium ions. The latter, which are regarded as the primary mutagenic/carcinogenic agents attack DNA to give a variety of adducts. Principal among these are the C-8 arylamination products of 2'-deoxyguanosine (dG) and the N2- and N6-(2-acetylamin)arylation adducts of dG and 2'-deoxyadenosine (dA), resp. The latter types of adducts have received little biol. attention because synthetic methods for their prepn. have been lacking. We now describe a general high-yield method for the synthesis of both of these types of N-arylated 2'-deoxynucleosides. The key step is a Buchwald-Hartwig coupling reaction between an appropriately protected deriv. of dG or dA and an o-nitroaryl bromide or triflate. Subsequent redn., acetylation, and deprotection of the N2-adducts of dG and of the N6-adduct of dA then gives the desired adducts.

IT **253270-03-0P**  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (a general method for the synthesis of the carcinogenic amine adducts of deoxyguanosine and deoxyadenosine)  
 RN 253270-03-0 CAPLUS  
 CN Adenosine, N-[2-(acetylamin)-1-naphthalenyl]-2'-deoxy-3',5'-bis-O-[(1,1-dimethylethyl)dimethylsilyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 253270-04-1P

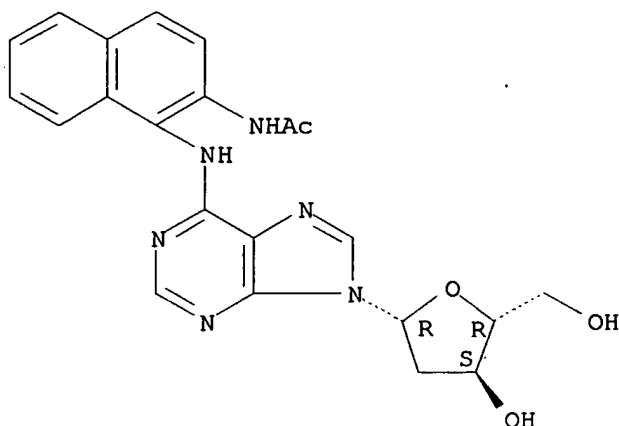
RL: SPN (Synthetic preparation); PREP (Preparation)

(a general method for the synthesis of the carcinogenic amine adducts of deoxyguanosine and deoxyadenosine)

RN 253270-04-1 CAPLUS

CN Adenosine, N-[2-(acetylamino)-1-naphthalenyl]-2'-deoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



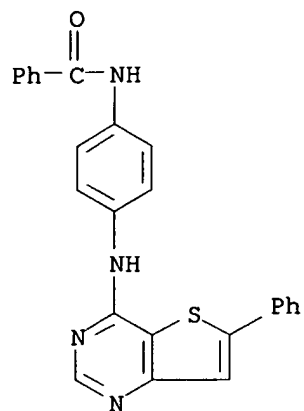
RE.CNT 42 THERE ARE 42 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 5 OF 21 CAPLUS COPYRIGHT 2003 ACS  
 AN 1999:325942 CAPLUS  
 DN 131:5266  
 TI Preparation of thienopyrimidines and thienopyridines as anticancer agents  
 IN Munchhof, Michael John; Sobolov-Jaynes, Susan Beth  
 PA Pfizer Products Inc., USA  
 SO PCT Int. Appl., 91 pp.  
 CODEN: PIXXD2

DT Patent  
 LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9924440	A1	19990520	WO 1998-IB1691	19981022
	W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
	CA 2309690	AA	19990520	CA 1998-2309690	19981022
	AU 9894541	A1	19990531	AU 1998-94541	19981022
	EP 1028964	A1	20000823	EP 1998-947716	19981022
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO			
	BR 9814018	A	20000926	BR 1998-14018	19981022
	JP 2001522853	T2	20011120	JP 2000-520449	19981022
	ZA 9810253	A	20000510	ZA 1998-10253	19981110
	US 6492383	B1	20021210	US 2000-502129	20000210
	NO 2000002162	A	20000710	NO 2000-2162	20000427
PRAI	US 1997-65097P	P	19971111		
	WO 1998-IB1691	W	19981022		
	US 2001-65097P	P	20011111		
OS	MARPAT 131:5266				
AB	The title compds. [I and II; X1 = N, CH; R1 = H, alkyl, C(O)alkyl; R2 = (un)substituted C6-10 aryl, 5-13 membered heterocyclic; R11 = H, alkyl, C(O)NR6R9, etc.; R6 = H, alkyl, etc.; R9 = H, alkyl, etc.] and their pharmaceutically acceptable salts, useful for treating hyperproliferative disorders, were prepd. E.g., a multi-step synthesis of I [X1 = N; R1 = indol-5-yl; R2 = H; R11 = Br], was given. Compds. I are effective at 0.2-2.5 g/day for a 70 kg human.				
IT	<b>225382-77-4P</b> RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of thienopyrimidines and thienopyridines as anticancer agents)				
RN	225382-77-4 CAPLUS				
CN	Benzamide, N-[4-[(6-phenylthieno[3,2-d]pyrimidin-4-yl)amino]phenyl]- (9CI) (CA INDEX NAME)				



RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 6 OF 21 CAPLUS COPYRIGHT 2003 ACS  
 AN 1998:564221 CAPLUS  
 DN 129:175920  
 TI Preparation of nucleosides water soluble adenosine kinase inhibitors  
 IN Ugarkar, Bheemarao G.; Erion, Mark D.; Gomez, Galeno Jorge E.  
 PA Metabasis Therapeutics, Inc., USA  
 SO U.S., 35 pp., Cont.-in-part of U. S. Ser. No. 473,492.  
 CODEN: USXXAM

DT Patent  
 LA English

FAN.CNT 14

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5795977	A	19980818	US 1996-660532	19960607
	WO 9212718	A1	19920806	WO 1992-US515	19920121
	W: AU, CA, FI, NO				
	AU 665184	B2	19951221	AU 1992-13599	19920121
	AU 9213599	A1	19920827		
	NO 9302628	A	19930923	NO 1993-2628	19930721
	NO 180418	B	19970106		
	NO 180418	C	19970416		
	US 5646128	A	19970708	US 1994-349125	19941201
	US 5658889	A	19970819	US 1994-355836	19941214
	US 5726302	A	19980310	US 1995-473492	19950607
PRAI	US 1989-408707	B2	19890918		
	US 1990-466979	B2	19900118		
	US 1991-647117	B2	19910123		
	US 1991-812916	B2	19911223		
	US 1995-473492	A2	19950607		
	US 1989-301222	A2	19890124		
	US 1989-301453	A2	19890124		
	US 1989-408107	B2	19890915		
	WO 1992-US515	W	19920121		
	US 1993-14190	B2	19930203		
	US 1994-192645	B1	19940203		
	US 1994-230421	B1	19940419		

OS MARPAT 129:175920

AB This invention relates to adenosine kinase inhibitors and to nucleoside analogs I (A1, A2 = independently H, acyl; A1A2 = cyclic carbonate; B = alkenyl, alkyl, alkoxy, aminoalkyl, azidoalkyl, hydroxyalkyl, haloalkyl; D = alkyl, alkenyl; X = carbocyclic or heterocyclic ring, alkyl, alkenyl; Y = C, N; E = nothing or H, halogen; G = H, halogen; p = 0-3), specifically to water sol., aryl substituted 4-amino-pyrrolo[2,3-d]pyrimidine and pyrazolo[3,4-d]pyrimidine nucleoside analogs having activity as adenosine kinase inhibitors. The invention also relates to the prepn. and use of these adenosine kinase inhibitors in the treatment of cardiovascular, and cerebrovascular diseases, inflammation and other diseases which can be regulated by increasing the local concn. of adenosine. Thus, 4-N-(4-carboxymethylphenyl)amino-5-phenyl-7-(5-deoxy-1-.beta.-D-ribofuranosyl)pyrrolo[2,3-d]pyrimidine was prepd. and tested as adenosine kinase inhibitor (EC50 = 80 nmol.).

IT 186300-90-3P 186300-94-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (prepn. of nucleosides water sol. adenosine kinase inhibitors)

RN 186300-90-3 CAPLUS

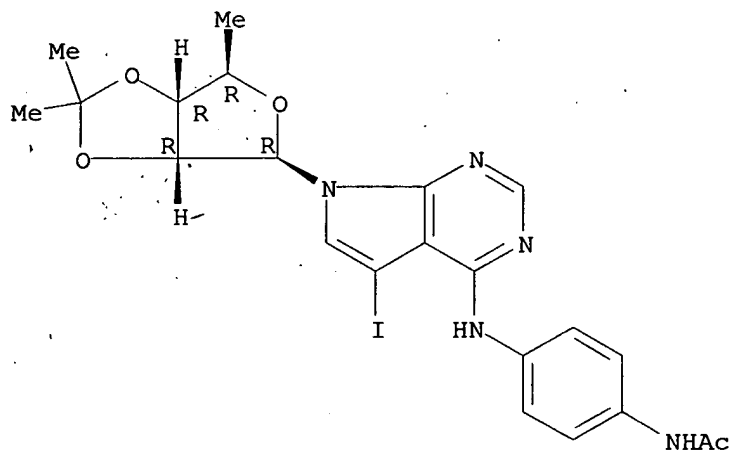
CN Acetamide, N-[4-[[7-[5-deoxy-2,3-O-(1-methylethylidene)-.beta.-D-

*Isomer*

09/937,018 (G = N)

ribofuranosyl]-5-iodo-7H-pyrrolo[2,3-d]pyrimidin-4-yl]amino]phenyl]- (9CI)  
(CA INDEX NAME)

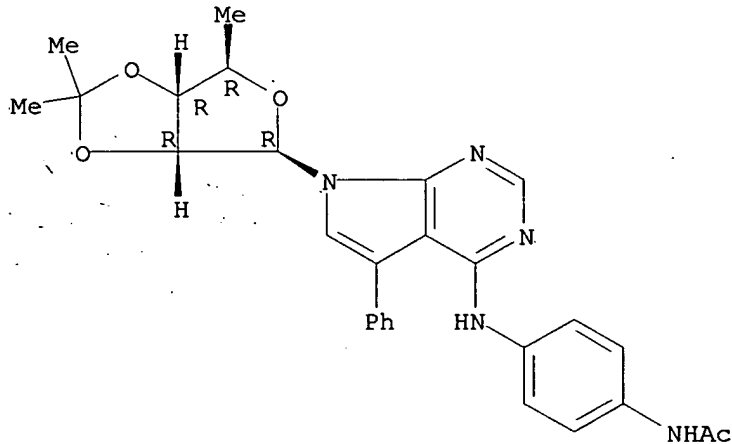
Absolute stereochemistry.



RN 186300-94-7 CAPLUS

CN Acetamide, N-[4-[[7-[5-deoxy-2,3-O-(1-methylethylidene)-.beta.-D-ribofuranosyl]-5-phenyl-7H-pyrrolo[2,3-d]pyrimidin-4-yl]amino]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 47 THERE ARE 47 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 7 OF 21 CAPLUS COPYRIGHT 2003 ACS  
 AN 1998:405444 CAPLUS  
 DN 129:67984  
 TI Preparation of orally active nucleoside adenosine kinase inhibitors  
 IN Ugarkar, Bheemarao G.; Erion, Mark D.; Gomez, Galeno Jorge E.; Castellino, Angelo J.; Browne, Clinton E.  
 PA Metabasis Therapeutics, Inc., USA  
 SO U.S., 22 pp., Cont.-in-part of U.S. Ser. No. 473,491.  
 CODEN: USXXAM

DT Patent

LA English

FAN.CNT 14

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5763597	A	19980609	US 1996-660506	19960607
	WO 9212718	A1	19920806	WO 1992-US515	19920121
	W: AU, CA, FI, NO				
	AU 665184	B2	19951221	AU 1992-13599	19920121
	AU 9213599	A1	19920827		
	NO 9302628	A	19930923	NO 1993-2628	19930721
	NO 180418	B	19970106		
	NO 180418	C	19970416		
	US 5646128	A	19970708	US 1994-349125	19941201
	US 5658889	A	19970819	US 1994-355836	19941214
	US 5721356	A	19980224	US 1995-473491	19950607
PRAI	US 1989-408707	B2	19890918		
	US 1990-466979	B2	19900118		
	US 1991-647117	B2	19910123		
	US 1991-812916	B2	19911223		
	US 1995-473491	A2	19950607		
	US 1989-301222	A2	19890124		
	US 1989-301453	A2	19890124		
	US 1989-408107	B2	19890915		
	WO 1992-US515	W	19920121		
	US 1993-14190	B2	19930203		
	US 1994-192645	B1	19940203		
	US 1994-230421	B1	19940419		

OS MARPAT 129:67984

AB Orally active nucleoside adenosine kinase inhibitors I (R = alkenyl, alkyl, alkoxyalkyl, aminoalkyl, azidoalkyl, haloalkyl; R1, R2 = independently H, acyl, together as cyclic carbonate; D = halo, alkyl, alkenyl, aryl, aralkyl, alkynyl, haloalkyl, cyano, carboxamido; Y = C, N; G = H, halo; n = 0-3) were prep'd. as adenosine kinase inhibitors. The invention also relates to the prepn. and use of these and other adenosine kinase inhibitors in the treatment of cardiovascular and cerebrovascular diseases, inflammation and other diseases which can be regulated by increasing the local concn. of adenosine. 4-N-(4-ethoxymethylphenyl)amino-5-phenyl-7-(5-deoxy-.beta.-D-ribofuranosyl)-pyrrolo[2,3-d]pyrimidine was prep'd. and tested as adenosine kinase inhibitor (IC50 = 6 nM) and as anticonvulsant agent (ED50 > 0.5 mg/kg).

IT 186393-56-6P 186393-79-3P 186393-92-0P

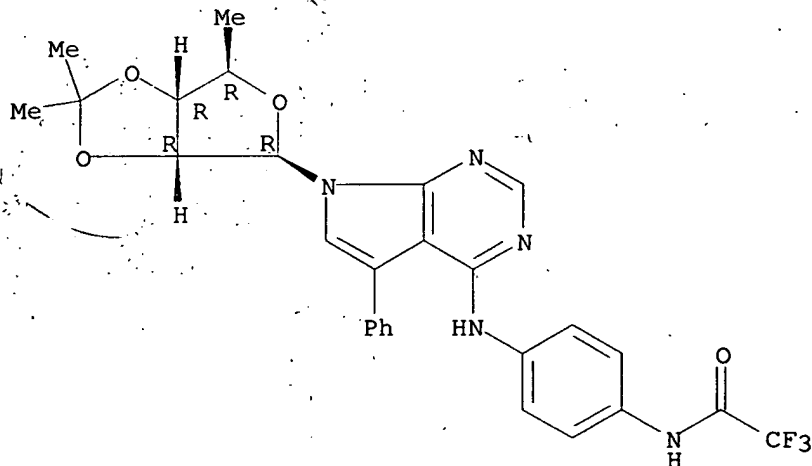
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (prepn. of orally active nucleoside adenosine kinase inhibitors)

RN 186393-56-6 CAPLUS

CN Acetamide, N-[4-[[7-[5-deoxy-2,3-O-(1-methylethylidene)-.beta.-D-ribofuranosyl]-5-phenyl-7H-pyrrolo[2,3-d]pyrimidin-4-yl]amino]phenyl]-

2,2,2-trifluoro- (9CI) (CA INDEX NAME)

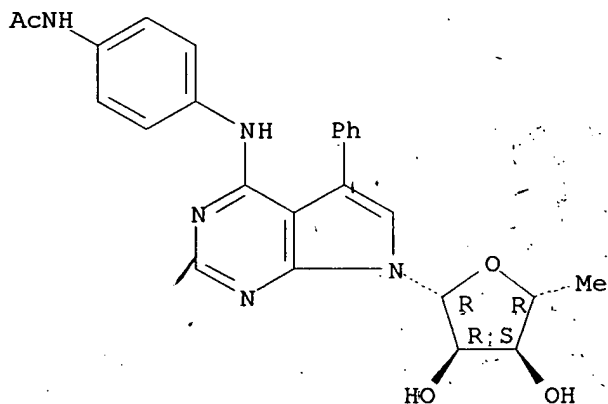
Absolute stereochemistry.



RN 186393-79-3 CAPLUS

CN Acetamide, N-[4-[[7-(5-deoxy-.beta.-D-ribofuranosyl)-5-phenyl-7H-pyrrolo[2,3-d]pyrimidin-4-yl]amino]phenyl]- (9CI) (CA INDEX NAME)

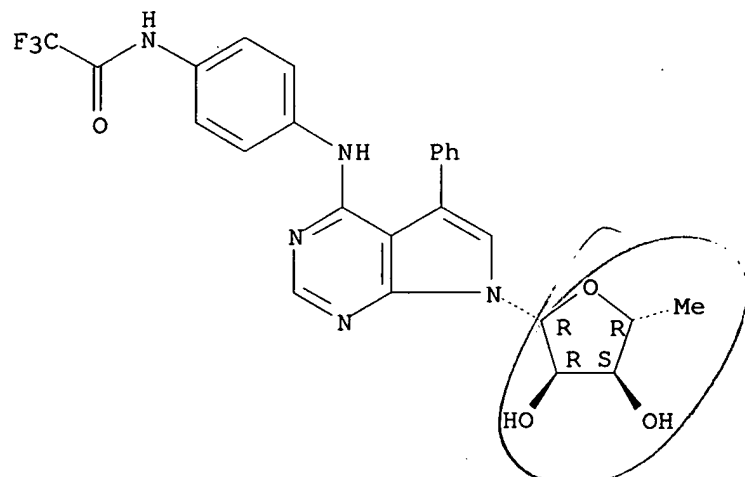
Absolute stereochemistry.



RN 186393-92-0 CAPLUS

CN Acetamide, N-[4-[[7-(5-deoxy-.beta.-D-ribofuranosyl)-5-phenyl-7H-pyrrolo[2,3-d]pyrimidin-4-yl]amino]phenyl]-2,2,2-trifluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 8 OF 21 CAPLUS COPYRIGHT 2003 ACS  
 AN 1998:180580 CAPLUS  
 DN 128:230637  
 TI Preparation of water soluble adenosine kinase inhibitors as cardiovascular and antiinflammatory agents  
 IN Ugarkar, Bheemarao G.; Erion, Mark D.; Gomez, Galeno Jorge E.  
 PA Gensia Inc., USA  
 SO U.S., 25 pp., Cont.-in-part of U.S. Ser. No. 812,916, abandoned.  
 CODEN: USXXAM  
 DT Patent  
 LA English  
 FAN.CNT 14

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5726302	A	19980310	US 1995-473492	19950607
	WO 9212718	A1	19920806	WO 1992-US515	19920121
	W: AU, CA, FI, NO				
	AU 665184	B2	19951221	AU 1992-13599	19920121
	AU 9213599	A1	19920827		
	NO 9302628	A	19930923	NO 1993-2628	19930721
	NO 180418	B	19970106		
	NO 180418	C	19970416		
	US 5646128	A	19970708	US 1994-349125	19941201
	US 5658889	A	19970819	US 1994-355836	19941214
	US 5864033	A	19990126	US 1995-451236	19950526
	CA 2247983	AA	19961219	CA 1996-2247983	19960607
	WO 9640707	A1	19961219	WO 1996-US10956	19960607
	W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG				
	RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN				
	AU 9664790	A1	19961230	AU 1996-64790	19960607
	EP 836613	A1	19980422	EP 1996-924302	19960607
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	US 5795977	A	19980818	US 1996-660532	19960607
	JP 11509181	T2	19990817	JP 1996-502319	19960607
	BR 9609011	A	19991214	BR 1996-9011	19960607
PRAI	US 1989-408707	B2	19890918		
	US 1990-466979	B2	19900118		
	US 1991-647117	B2	19910123		
	US 1991-812916	B2	19911223		
	US 1989-301222	A2	19890124		
	US 1989-301453	A2	19890124		
	US 1989-408107	B2	19890915		
	WO 1992-US515	W	19920121		
	US 1993-14190	B2	19930203		
	US 1994-192645	B1	19940203		
	US 1994-230421	B1	19940419		
	US 1995-473492	A	19950607		
	WO 1996-US10956	W	19960607		

OS MARPAT 128:230637

AB This invention relates to adenosine kinase inhibitors and to nucleoside analogs I (R1, R2 = independently H, acyl; R1R2 = cyclic carbonate; B = alkenyl; D = halo, alkynyl, haloalkyl, CN, carboxamido, alkyl; X = carbocyclic, heterocyclic, aryl; Y = C, N; E = pair of electron, H, halo;

G = H, halo) specifically to water sol., aryl substituted 4-aminopyrrolo[2,3-d]pyrimidine and pyrazolo[3,4-d]pyrimidine nucleoside analogs having activity as adenosine kinase inhibitors. The invention also relates to the prepn. and use of these adenosine kinase inhibitors in the treatment of cardiovascular, and cerebrovascular diseases, inflammation and other diseases which can be regulated by increasing the local concn. of adenosine. Thus, 4-N-(3-pyridylmethylamino)-iodo-7-(5-deoxy-1-.beta.-D-ribofuranosyl)pyrrolo[2,3-d]pyrimidine was prepd. and showed adenosine kinase inhibition (IC<sub>50</sub> = 120 nmol.) and anticonvulsant activity (ED<sub>50</sub> = 1.0 mg/kg).

IT 186300-90-3P 186300-94-7P

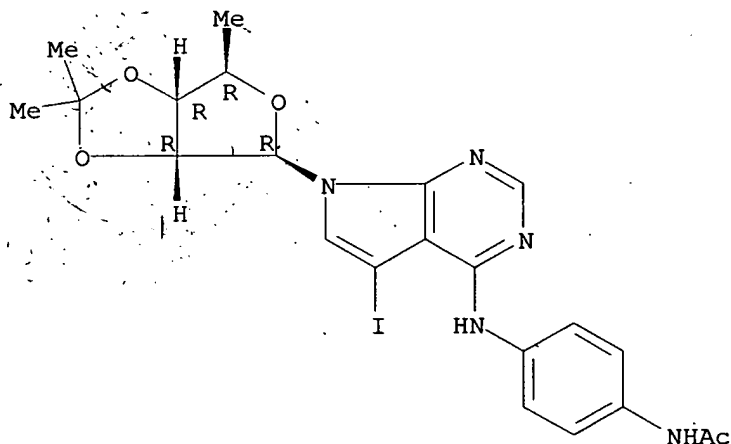
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of water sol. adenosine kinase inhibitors as cardiovascular and antiinflammatory agents).

RN 186300-90-3 CAPLUS

CN Acetamide, N-[4-[[7-[5-deoxy-2,3-O-(1-methylethylidene)-.beta.-D-ribofuranosyl]-5-iodo-7H-pyrrolo[2,3-d]pyrimidin-4-yl]amino]phenyl]- (9CI)  
(CA INDEX NAME)

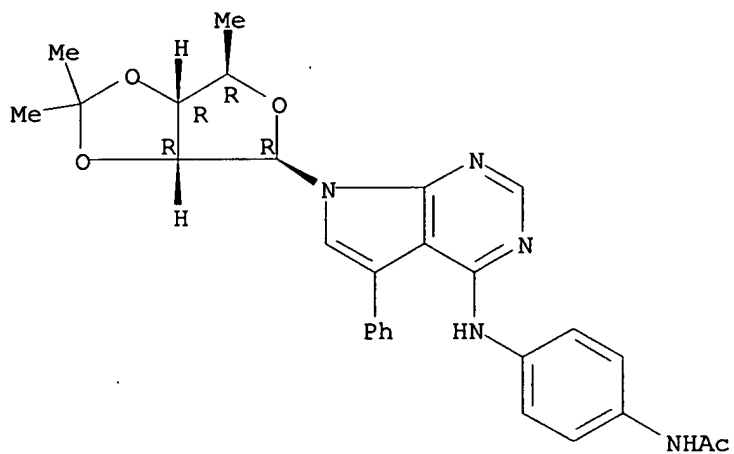
Absolute stereochemistry.



RN 186300-94-7 CAPLUS

CN Acetamide, N-[4-[[7-[5-deoxy-2,3-O-(1-methylethylidene)-.beta.-D-ribofuranosyl]-5-phenyl-7H-pyrrolo[2,3-d]pyrimidin-4-yl]amino]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L8 ANSWER 9 OF 21 CAPLUS COPYRIGHT 2003 ACS

AN 1998:146721 CAPLUS

DN 128:192880

TI Preparation of orally active adenosine kinase inhibitors

IN Ugarkar, Bheemarao G.; Erion, Mark D.; Gomez, Galeno Jorge E.; Castellino, Angelo J.; Browne, Clinton E.

PA Gensia, Inc., USA

SO U.S., 18 pp., Cont.-in-part of U.S. Ser. No. 812,916, abandoned.

CODEN: USXXAM

DT Patent

LA English

FAN.CNT 14

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5721356	A	19980224	US 1995-473491	19950607
	WO 9212718	A1	19920806	WO 1992-US515	19920121
	W: AU, CA, FI, NO				
	AU 665184	B2	19951221	AU 1992-13599	19920121
	AU 9213599	A1	19920827		
	NO 9302628	A	19930923	NO 1993-2628	19930721
	NO 180418	B	19970106		
	NO 180418	C	19970416		
	US 5646128	A	19970708	US 1994-349125	19941201
	US 5658889	A	19970819	US 1994-355836	19941214
	US 5864033	A	19990126	US 1995-451236	19950526
	CA 2247984	AA	19961219	CA 1996-2247984	19960607
	WO 9640706	A1	19961219	WO 1996-US10919	19960607
	W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG				
	RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN				
	AU 9663958	A1	19961230	AU 1996-63958	19960607
	EP 832092	A1	19980401	EP 1996-923451	19960607
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	US 5763597	A	19980609	US 1996-660506	19960607
	JP 11507390	T2	19990629	JP 1996-502318	19960607
	BR 9608625	A	19991207	BR 1996-8625	19960607
PRAI	US 1989-408707	B2	19890915		
	US 1990-466979	B2	19900118		
	US 1991-647117	B2	19910123		
	US 1991-812916	B2	19911223		
	US 1989-301222	A2	19890124		
	US 1989-301453	A2	19890124		
	US 1989-408107	B2	19890915		
	WO 1992-US515	W	19920121		
	US 1993-14190	B2	19930203		
	US 1994-192645	B1	19940203		
	US 1994-230421	B1	19940419		
	US 1995-473491	A	19950607		
	WO 1996-US10919	W	19960607		
OS	MARPAT 128:192880				
AB	This invention relates to adenosine kinase inhibitors and to nucleoside analogs I (B = alkyl, hydroxyalkyl, alkoxyalkyl, aminoalkyl; D = H, aryl; Y = CH, N, CR, R = halo; G = H, halo; p = 0, 1; X = aryl ring), specifically to orally active, substituted 5-aryl pyrrolo[2,3-d]pyrimidine				

and 3-aryl pyrazolo[3,4-d]pyrimidine nucleoside analogs having activity as adenosine kinase inhibitors. The invention also relates to the prepn. and use of these and other adenosine kinase inhibitors in the treatment of cardiovascular and cerebrovascular diseases, inflammation and other diseases which can be regulated by increasing the local concn. of adenosine. Thus, 4-N-(4-cyanophenyl)-amino-5-(4-methoxyphenyl)-7-(5-deoxy-1-.beta.-D-ribofuranosyl)pyrrolo[2,3-d]pyrimidine was prepd. as adenosine kinase inhibitor (IC<sub>50</sub> = 1.0-4.0 nM) and antiinflammatory and anticonvulsant agent.

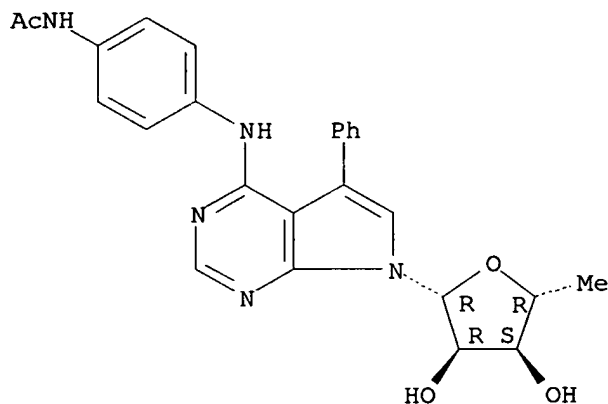
IT **186393-79-3P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of orally active adenosine kinase inhibitors)

RN 186393-79-3 CAPLUS

CN Acetamide, N-[4-[[7-(5-deoxy-.beta.-D-ribofuranosyl)-5-phenyl-7H-pyrrolo[2,3-d]pyrimidin-4-yl]amino]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L8 ANSWER 10 OF 21 CAPLUS COPYRIGHT 2003 ACS

AN 1997:539266 CAPLUS

DN 127:220667

TI Preparation of pyridopyrimidines as inhibitors of tyrosine kinases of the epidermal growth factor receptor family

IN Bridges, Alexander James; Denny, William Alexander; Fry, David; Kraker, Alan; Meyer, Robert Frederick; Rewcastle, Gordon William; Thompson, Andrew Mark

PA Warner-Lambert Co., USA

SO U.S., 55 pp., Cont.-in-part of U.S. Ser. No. 186,735, abandoned.

CODEN: USXXAM

DT Patent

LA English

FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5654307	A	19970805	US 1994-358351	19941223
	IL 112249	A1	20011125	IL 1995-112249	19950104
	ZA 9500440	A	19951010	ZA 1995-440	19950119
	ZA 9500441	A	19951010	ZA 1995-441	19950119
	CA 2177372	AA	19950727	CA 1995-2177372	19950123
	WO 9519774	A1	19950727	WO 1995-US941	19950123
	W: AM, AU, BG, BY, CA, CN, CZ, EE, FI, GE, HU, JP, KG, KR, KZ, LT, LV, MD, MX, NO, NZ, PL, RO, RU, SI, SK, TJ, UA, UZ				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	AU 9517314	A1	19950808	AU 1995-17314	19950123
	AU 686334	B2	19980205		
	EP 742717	A1	19961120	EP 1995-909316	19950123
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
	CN 1139383	A	19970101	CN 1995-191310	19950123
	CN 1139430	A	19970101	CN 1995-191318	19950123
	JP 09508127	T2	19970819	JP 1995-519732	19950123
	PL 179132	B1	20000731	PL 1995-315633	19950123
	RO 117257	B1	20011228	RO 1996-1517	19950123
	FI 9602856	A	19960925	FI 1996-2856	19960715
	NO 9603094	A	19960724	NO 1996-3094	19960724
	US 6084095	A	20000704	US 1997-811797	19970306
	US 6265410	B1	20010724	US 1998-191163	19981113
	US 2001027197	A1	20011004	US 2001-824606	20010402
	US 6455534	B2	20020924		
PRAI	US 1994-186735	B2	19940125		
	US 1994-186745	B2	19940125		
	US 1994-358351	A	19941223		
	WO 1995-US941	W	19950123		
	US 1997-811797	A3	19970306		
	US 1998-191163	A3	19981113		

OS MARPAT 127:220667

AB The title compds. [I and II; X = NH, NR7 (wherein R7 = C1-4 alkyl, OH, NH2, etc.); n = 0-2; R1 = H, C1-4 alkyl; R2 = C1-4 alkyl, C3-7 cycloalkyl, C1-4 alkoxy, etc.; m = 0-3; R3-R5 = H, C1-4 alkyl, C3-8 cycloalkyl, etc.], inhibitors of epidermal growth factor receptor family of tyrosine kinase which are useful in treating proliferative diseases such as cancer, synovial pannus invasion in arthritis, psoriasis, vascular restenosis and angiogenesis and addnl. useful in the treatment of pancreatitis and kidney disease as well as a contraceptive agent, were prepd. Thus, reaction of freshly prepd. 4-chloropyrido[3,2-d]pyrimidine with PhCH2NH2 in iPrOH contg. a trace of conc. HCl afforded 77% III which showed IC50 of 3.6 .mu.M against EGF receptor tyrosine kinase inhibition.

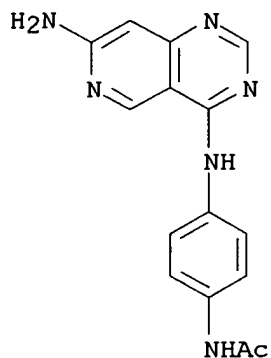
IT **171178-39-5P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of pyridopyrimidines as inhibitors of tyrosine kinases of the epidermal growth factor receptor family)

RN 171178-39-5 CAPLUS

CN Acetamide, N-[4-[(7-aminopyrido[4,3-d]pyrimidin-4-yl)amino]phenyl]- (9CI)  
(CA INDEX NAME)



L8 ANSWER 11 OF 21 CAPLUS COPYRIGHT 2003 ACS

AN 1997:405920 CAPLUS

DN 127:34237

TI Preparation of purine derivatives

IN Zimmermann, Juerg; Capraro, Hans-Georg; Peterli, Patricia; Furet, Pascal

PA Novartis Ag, Switz.; Zimmermann, Juerg; Capraro, Hans-Georg; Peterli, Patricia; Furet, Pascal

SO PCT Int. Appl., 97 pp.

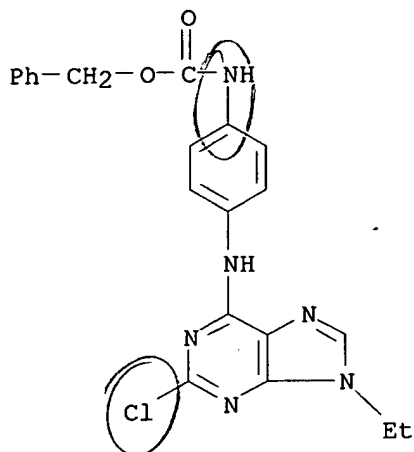
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9716452	A1	19970509	WO 1996-EP4573	19961022
	W: AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GE, HU, IL, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	CA 2234609	AA	19970509	CA 1996-2234609	19961022
	AU 9672968	A1	19970522	AU 1996-72968	19961022
	EP 874846	A1	19981104	EP 1996-934774	19961022
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	CN 1202896	A	19981223	CN 1996-198457	19961022
	CN 1066147	B	20010523		
	BR 9611157	A	19990330	BR 1996-11157	19961022
	JP 11514336	T2	19991207	JP 1996-506047	19961022
	ZA 9609168	A	19970501	ZA 1996-9168	19961031
PRAI	CH 1995-3094	A	19951101		
	CH 1996-2213	A	19960910		
	WO 1996-EP4573	W	19961022		
OS	MARPAT 127:34237				
AB	2-Amino-6-anilino-purine derivs. I (R = halo, alkyl, HO, alkanoyloxy, alkoxy, substituted alkoxy, carboxyl, alkoxy-carbonyl, carbamoyl, amino, aminosulfonyl, F3C; R1 = H, carbamoyl, alkylcarbamoyl; R2 = alkyl, Ph, substituted Ph; R3 = H, amino, phenylamino, alkylamino, HO, phenoxy, alkoxy, acyl, carbocyclic radical, or heterocyclic radical; R4 = amino, OH, phenoxy, alkoxy, acyl, substituted hydrocarbon radical, carbocyclic radical, or heterocyclic radical; R3R4 may form a ring; m and n are 0, 1; q = 1-5) were prepd. These compds. inhibit p34cdc2/cyclin Bcdcl3 kinase and can be used for treatment of hyperproliferative diseases, for example tumor diseases (no data). Thus, 2-chloro-6-(3-chlorophenylamino)-9-ethyl-9H-purine, prepd. in two steps from 3-chloroaniline and 2,6-dichloropurine, was treated with ethylenediamine to give 2-(2-aminoethylamino)-6-(3-chlorophenylamino)-9-ethyl-9H-purine.				
IT	<b>190655-10-8P</b>				
	RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)				
	(prepn. of antitumor purine derivs.)				
RN	190655-10-8 CAPLUS				
CN	Carbamic acid, [4-[(2-chloro-9-ethyl-9H-purin-6-yl)amino]phenyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)				

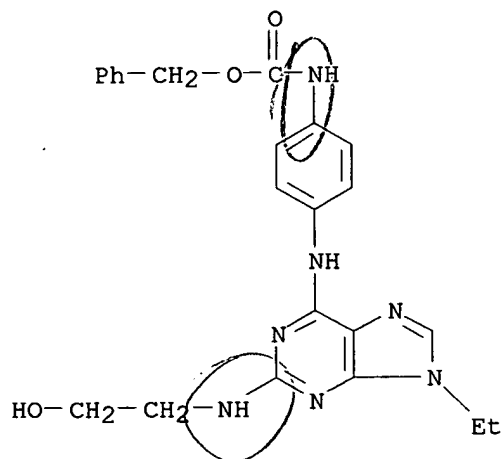
IT **190654-72-9P**

RL: RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use);  
 BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent);  
 USES (Uses)

(prepn. of antitumor purine derivs.)

RN 190654-72-9 CAPLUS

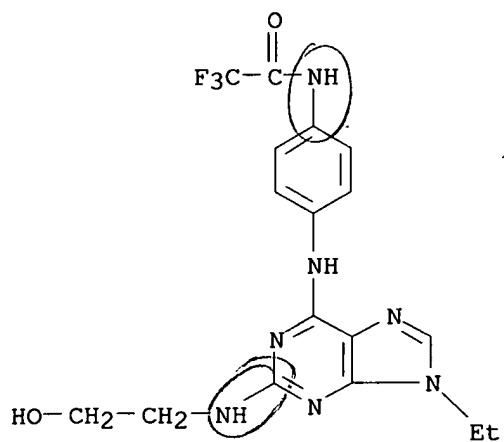
CN Carbamic acid, [4-[[9-ethyl-2-[(2-hydroxyethyl)amino]-9H-purin-6-yl]amino]phenyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

IT **190654-74-1P 190654-75-2P**

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (prepn. of antitumor purine derivs.)

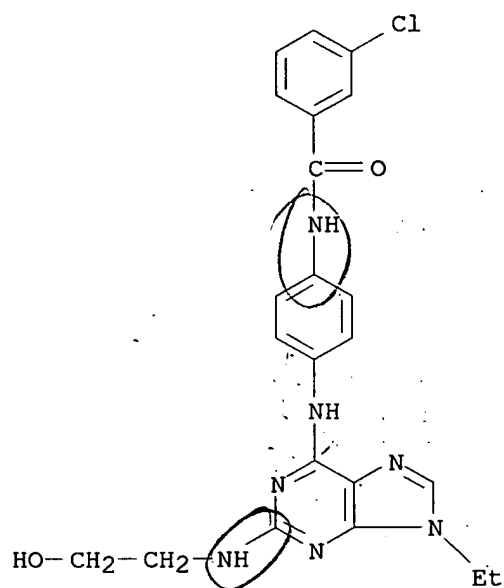
RN 190654-74-1 CAPLUS

CN Acetamide, N-[4-[[9-ethyl-2-[(2-hydroxyethyl)amino]-9H-purin-6-yl]amino]phenyl]-2,2,2-trifluoro- (9CI) (CA INDEX NAME)



RN 190654-75-2 CAPLUS

CN Benzamide, 3-chloro-N-[4-[[9-ethyl-2-[(2-hydroxyethyl)amino]-9H-purin-6-yl]amino]phenyl]- (9CI) (CA INDEX NAME)



L8 ANSWER 12 OF 21 CAPLUS COPYRIGHT 2003 ACS

AN 1997:121409 CAPLUS

DN 126:131750

TI Preparation of nucleoside analogs as orally active adenosine kinase inhibitors

IN Ugarkar, Gheemarao G.; Erion, Mark D.; Galeno, Jorge E. Gomez; Castellino, Angelo J.; Browne, Clinton E.

PA Gensia Inc., USA

SO PCT Int. Appl., 67 pp.

CODEN: PIXXD2

DT Patent

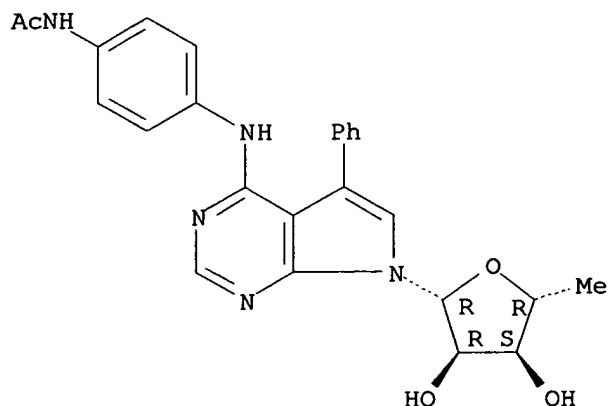
LA English

FAN.CNT 14

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9640706	A1	19961219	WO 1996-US10919	19960607
	W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG				
	RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN				
	US 5721356	A	19980224	US 1995-473491	19950607
	AU 9663958	A1	19961230	AU 1996-63958	19960607
	EP 832092	A1	19980401	EP 1996-923451	19960607
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	JP 11507390	T2	19990629	JP 1996-502318	19960607
	BR 9608625	A	19991207	BR 1996-8625	19960607
PRAI	US 1995-473491	A	19950607		
	US 1989-408707	B2	19890915		
	US 1990-466979	B2	19900118		
	US 1991-647117	B2	19910123		
	US 1991-812916	B2	19911223		
	WO 1996-US10919	W	19960607		
OS	MARPAT 126:131750				
AB	Title nucleosides I (A1, A2 = H, acyl, cyclic carbonate; B = alkenyl, alkyl, aminoalkyl, azidoalkyl, haloalkyl; D = halogen, alkyl, alkenyl, aryl, aralkyl, alkynyl, CN, carboxamido; Y = C, N; E = H, halogen, alkyl; G = H, halogen; p = 0-3) were prepd. as adenosine kinase inhibitors. The invention also relates to the prepn. and use of these and other adenosine kinase inhibitors in the treatment of cardiovascular and cerebrovascular diseases, inflammation, and other diseases which can be regulated by increasing the local concn. of adenosine. Thus, 4-N-(4-methoxyphenyl)amino-3-phenyl-1-(5-azido-5-deoxy-.beta.-D-ribofuranosyl)pyrazolo[3,4-d]pyrimidine was prepd. and showed adenosine kinase inhibition (IC50 = 8 nM) and anticonvulsant activity (i.p. >> 3.4 mg/Kg).				
IT	<b>186393-79-3P 186393-92-0P</b> RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); IMF (Industrial manufacture); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of nucleoside analogs as orally active adenosine kinase inhibitors)				
RN	186393-79-3 CAPLUS				
CN	Acetamide, N-[4-[[7-(5-deoxy-.beta.-D-ribofuranosyl)-5-phenyl-7H-pyrrolo[2,3-d]pyrimidin-4-yl]amino]phenyl]- (9CI) (CA INDEX NAME)				

*Isomer*

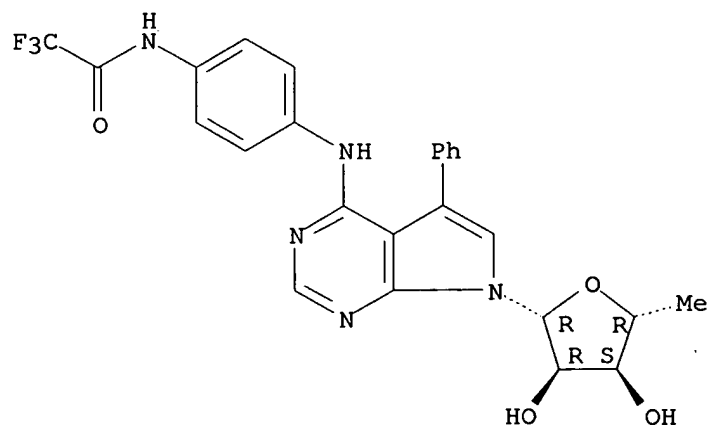
Absolute stereochemistry.



RN 186393-92-0 CAPLUS

CN Acetamide, N-[4-[[7-(5-deoxy-.beta.-D-ribofuranosyl)-5-phenyl-7H-pyrrolo[2,3-d]pyrimidin-4-yl]amino]phenyl]-2,2,2-trifluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



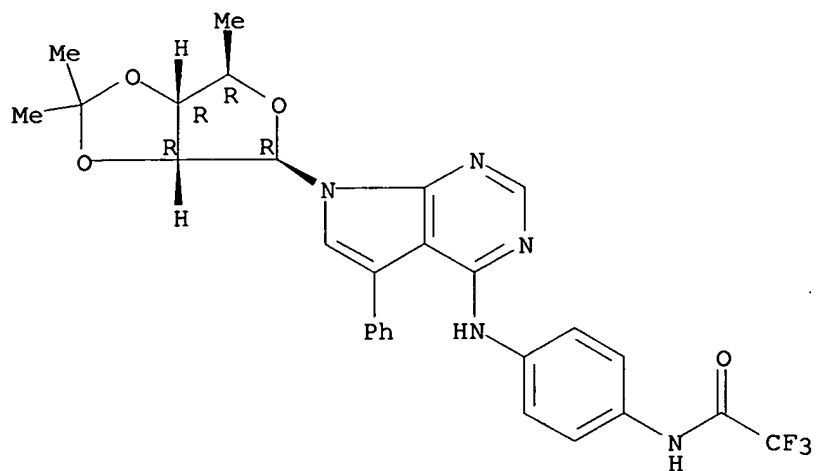
IT 186393-56-6P

RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(prepn. of nucleoside analogs as orally active adenosine kinase inhibitors)

RN 186393-56-6 CAPLUS

CN Acetamide, N-[4-[[7-[5-deoxy-2,3-O-(1-methylethylidene)-.beta.-D-ribofuranosyl]-5-phenyl-7H-pyrrolo[2,3-d]pyrimidin-4-yl]amino]phenyl]-2,2,2-trifluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L8 ANSWER 13 OF 21 CAPLUS COPYRIGHT 2003 ACS  
 AN 1997:119216 CAPLUS  
 DN 126:131749  
 TI Preparation of water-soluble nucleoside analogs as adenosine kinase inhibitors  
 IN Ugarkar, Bheemarao G.; Erion, Mark D.; Galeno, Jorge E. Gomez  
 PA Gensia Inc., USA  
 SO PCT Int. Appl., 106 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 14

*Isomer*

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9640707	A1	19961219	WO 1996-US10956	19960607
	W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG				
	RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN				
	US 5726302	A	19980310	US 1995-473492	19950607
	AU 9664790	A1	19961230	AU 1996-64790	19960607
	EP 836613	A1	19980422	EP 1996-924302	19960607
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	JP 11509181	T2	19990817	JP 1996-502319	19960607
	BR 9609011	A	19991214	BR 1996-9011	19960607
PRAI	US 1995-473492	A	19950607		
	US 1989-408707	B2	19890918		
	US 1990-466979	B2	19900118		
	US 1991-647117	B2	19910123		
	US 1991-812916	B2	19911223		
	WO 1996-US10956	W	19960607		

OS MARPAT 126:131749

AB This invention relates to adenosine kinase inhibitors and to nucleoside analogs specifically to orally active, substituted 5-aryl pyrrolo[2,3-d]pyrimidine and 3-aryl pyrazolo[3,4-d]pyrimidine nucleoside analogs having activity as adenosine kinase inhibitors. The invention also relates to the prepn. and use of these and other adenosine kinase inhibitors in the treatment of cardiovascular and cerebrovascular disease, inflammation and other diseases which can be regulated by increasing the local concn. of adenosine. Water-sol. nucleoside analogs I [R = (un)substituted aryl; R1, R2 = H, acyl, cyclic carbonate; B = alkenyl, alkyl, ether, aminoalkyl, azidoalkyl; D = halo, alkyl, alkenyl, cyano, carboxamido; E, G = H, halogen] were prepd. as adenosine kinase inhibitors. Thus, 4-N-(4-guanidinophenyl)amino-5-phenyl-7-(5-deoxy-1-.beta.-D-ribofuranosyl)pyrrolo[2,3-d]pyrimidine was prepd. as adenosine kinase inhibitor (IC50 = 6 nmol) and anticonvulsant (ED50 = 5.0 mg/kg).

IT 186300-90-3P 186300-94-7P

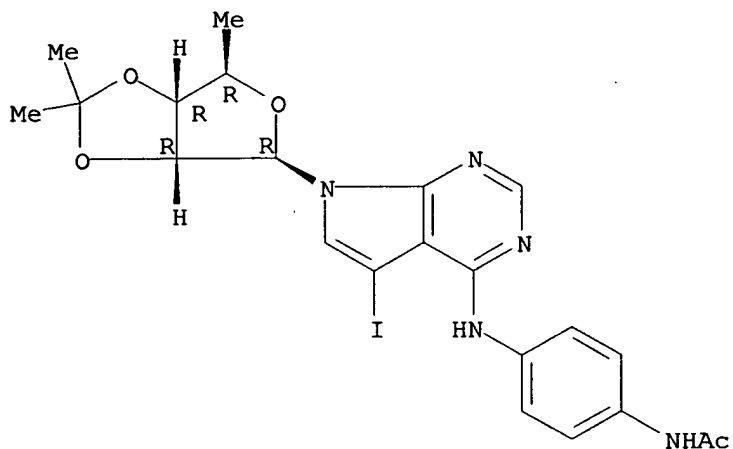
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); IMF (Industrial manufacture); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (prepn. of water-sol. nucleoside analogs as adenosine kinase inhibitors)

RN 186300-90-3 CAPLUS

CN Acetamide, N-[4-[[7-[5-deoxy-2,3-O-(1-methylethylidene)-.beta.-D-

ribofuranosyl]-5-iodo-7H-pyrrolo[2,3-d]pyrimidin-4-yl]amino]phenyl]- (9CI)  
(CA INDEX NAME)

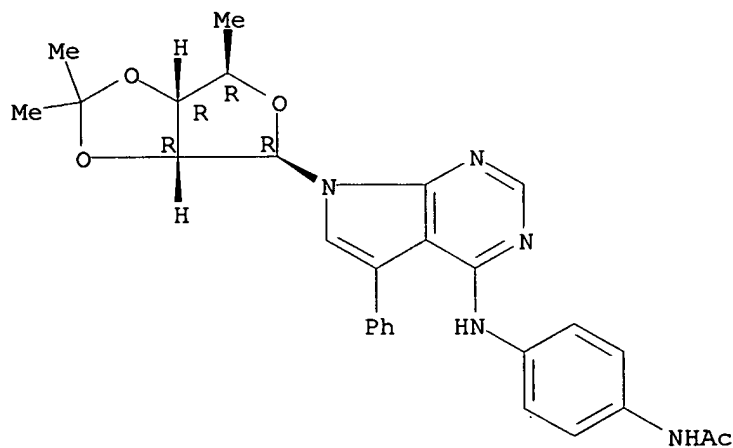
Absolute stereochemistry.



RN 186300-94-7 CAPLUS

CN Acetamide, N-[4-[[7-[5-deoxy-2,3-O-(1-methylethylidene)-.beta.-D-ribofuranosyl]-5-phenyl-7H-pyrrolo[2,3-d]pyrimidin-4-yl]amino]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L8 ANSWER 14 OF 21 CAPLUS COPYRIGHT 2003 ACS

AN 1995:969436 CAPLUS

DN 124:8839

TI Preparation of bicyclic pyrimidines capable of inhibiting tyrosine kinases of the epidermal growth factor receptor family

IN Bridges, Alexander James; Denny, William Alexander; Fry, David; Kraker, Alan; Meyer, Robert; Rewcastle, Gordon William; Thompson, Andrew Mark

PA Warner-Lambert Co., USA

SO PCT Int. Appl., 218 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9519774	A1	19950727	WO 1995-US941	19950123
	W: AM, AU, BG, BY, CA, CN, CZ, EE, FI, GE, HU, JP, KG, KR, KZ, LT, LV, MD, MX, NO, NZ, PL, RO, RU, SI, SK, TJ, UA, UZ				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	US 5654307	A	19970805	US 1994-358351	19941223
	ZA 9500440	A	19951010	ZA 1995-440	19950119
	AU 9517314	A1	19950808	AU 1995-17314	19950123
	AU 686334	B2	19980205		
	EP 742717	A1	19961120	EP 1995-909316	19950123
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
	JP 09508127	T2	19970819	JP 1995-519732	19950123
	PL 179132	B1	20000731	PL 1995-315633	19950123
	RU 2174980	C2	20011020	RU 1996-116985	19950123
	RO 117257	B1	20011228	RO 1996-1517	19950123
	FI 9602856	A	19960925	FI 1996-2856	19960715
	NO 9603094	A	19960724	NO 1996-3094	19960724
PRAI	US 1994-186735	A	19940125		
	US 1994-186745	A	19940125		
	US 1994-358351	A	19941223		
	WO 1995-US941	W	19950123		

OS MARPAT 124:8839

AB The title compds. [I; A-E = nitrogen with the remaining atom(s) carbon, or any two contiguous positions in A-E taken together can be a single heteroatom N, O or S, in which case one of the two remaining atoms must be carbon, and the other can be either carbon or nitrogen, etc.; A1 = divalent Ph, thienyl, furanyl pyrimidinyl, heterocyclyl, etc.; R1 = H, lower alkyl; R2 = lower alkyl, cycloalkyl, alkoxy, cycloalkoxy, NO2, halogen, etc.; R3-R6 = H, alkyl, alkoxy, HO, acyloxy, (un)substituted NH2, etc.; X = O, S, (un)substituted NH; m = 0-3; n = 0-2], useful for inhibiting tyrosine kinases of the epidermal growth factor receptor family, are prep'd. Thus, 4-(3-bromoanilino)-6-fluoropyrido[3,4-d]pyrimidine was reacted with Me2NH, producing 4-(3-bromoanilino)-6-(dimethylamino)pyrido[3,4-d]pyrimidine, which demonstrated a IC50 of 6 pM for inhibition of tyrosine kinase at an epidermal growth factor receptor.

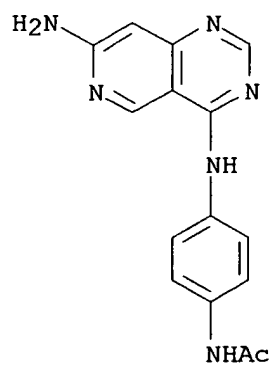
IT 171178-39-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

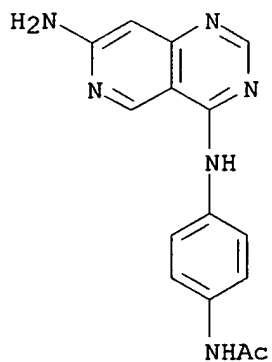
(prepn. of bicyclic pyrimidines capable of inhibiting tyrosine kinases of the epidermal growth factor receptor family)

RN 171178-39-5 CAPLUS

CN Acetamide, N-[4-[(7-aminopyrido[4,3-d]pyrimidin-4-yl)amino]phenyl]- (9CI)  
(CA INDEX NAME)

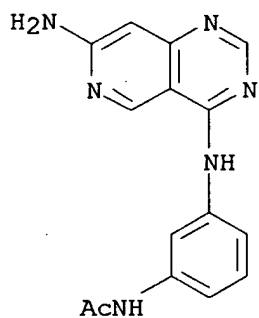


L8 ANSWER 15 OF 21 CAPLUS COPYRIGHT 2003 ACS  
 AN 1995:817808 CAPLUS  
 DN 124:29702  
 TI Tyrosine kinase inhibitors. 7. 7-amino-4-(phenylamino)- and  
 7-amino-4-[(phenylmethyl)amino]pyrido[4,3-d]pyrimidines: a new class of  
 inhibitors of the tyrosine kinase activity of the epidermal growth factor  
 receptor  
 AU Thompson, Andrew M.; Bridges, Alexander J.; Fry, David W.; Kraker, Alan  
 J.; Denny, William A.  
 CS Cancer Research Laboratory, University Auckland School Medicine, Auckland,  
 N. Z.  
 SO Journal of Medicinal Chemistry (1995), 38(19), 3780-8  
 CODEN: JMCMAR; ISSN: 0022-2623  
 PB American Chemical Society  
 DT Journal  
 LA English  
 AB The synthesis of 7-aminopyrido[4,3-d]pyrimidines bearing arom. side chains  
 at the 4-position is reported. These compds. are shown to be a new class  
 of inhibitors of the tyrosine kinase activity of the epidermal growth  
 factor receptor (EGFR). Structure-activity relationships (SARs) for  
 substitution in both 4-(phenylamino)- and 4-[(phenylmethyl)amino] side  
 chains were detd., using a series of substituents (NO<sub>2</sub>, Br, CF<sub>3</sub>, OMe, NH<sub>2</sub>,  
 and NMe<sub>2</sub>) selected primarily for their wide range of electronic  
 properties. In the phenylamino series, 3-substituted derivs. were more  
 potent than the corresponding 2- and 4-substituted analogs. For the  
 3-substituted compds., activity was favored by electron withdrawal, in a  
 relationship which could be quantified, with the 3-Br being the most  
 potent compd. (IC<sub>50</sub> = 0.01 .mu.M compared with IC<sub>50</sub> = 0.34 .mu.M for the  
 unsubstituted side chain deriv.). No such correlation was apparent for  
 the 2- or 4-substituent, although Br was still the best substituent. In  
 contrast, in the 4-[(phenylmethyl)amino] series, substitution of the side  
 chain was not beneficial. For the 4-(phenylamino) series, the substituent  
 SARs are broadly similar to that found previously for 4-  
 (phenylamino)quinazolines. These results suggest that side chain SARs may  
 be broadly invariant over a range of different chromophores, with the side  
 chain of choice for optimization of EGFR inhibitory activity being  
 4-[(3-bromophenyl)amino].  
 IT **171178-39-5P 171620-20-5P**  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological  
 study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);  
 BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (pyrido[4,3-d]pyrimidines: a new class of inhibitors of the tyrosine  
 kinase activity of the epidermal growth factor receptor)  
 RN 171178-39-5 CAPLUS  
 CN Acetamide, N-[4-[(7-aminopyrido[4,3-d]pyrimidin-4-yl)amino]phenyl]- (9CI)  
 (CA INDEX NAME)



RN 171620-20-5 CAPLUS

CN Acetamide, N-[3-[(7-aminopyrido[4,3-d]pyrimidin-4-yl)amino]phenyl]- (9CI)  
(CA INDEX NAME)



L8 ANSWER 17 OF 21 CAPLUS COPYRIGHT 2003 ACS

AN 1991:242547 CAPLUS

DN 114:242547

TI An unusual dearomatized adduct formed by reaction of 4'-fluoro-4-(acetylamino)biphenyl N-sulfate with deoxyadenosine

AU Van de Poll, Monique L. M.; Venizelos, Vicky; Niessen, Wilfried M. A.; Meerman, John H. N.

CS Cent. Bio-Pharm. Sci., Univ. Leiden, Leiden, 2300 RA, Neth.

SO Chemical Research in Toxicology (1991), 4(3), 318-23

CODEN: CRTOEC; ISSN: 0893-228X

DT Journal

LA English

OS CASREACT 114:242547

AB The sulfate ester of the liver carcinogen N-hydroxy-4'-fluoro-4-(acetylamino)biphenyl (FAABP-N-sulfate) is believed to be a reactive intermediate in the male rat liver in vivo. After reaction of FAABP-N-sulfate with double-stranded calf thymus DNA in vitro, 30% of the adducts was identified as N-(deoxyguanosin-8-yl)-4'-fluoro-4-(acetylamino)biphenyl (dG-C8-FAABP) and 16% was suggested to be 3-(deoxyguanosin-N2-yl)-4'-fluoro-4-(acetylamino)biphenyl. To investigate the identity of the remaining adducts, FAABP-N-sulfate was reacted with deoxyadenosine. Two adducts could be isolated, which were identified by 1H NMR and mass spectrometry as 3-(deoxyadenosin-N6-yl)-4'-fluoro-4-(acetylamino)biphenyl (3-dA-N6-FAABP) and 3-(deoxyadenosin-N6-yl)-4'-fluoro-4-(acetylamino)-3,4-dihydrobiphenyl (3-dA-N6-FHAIBP). An addnl. center of chirality is introduced at C3 (biphenyl) in the latter adduct. Therefore, 3-dA-N6-FHAIBP exists as a pair of 2 diastereomers with H-3 (biphenyl) in the .alpha. or .beta. position. Hydrogen bonding between the proton on N6 (adenine) and the imine nitrogen or the acetylmino oxygen is suggested to stabilize 3-dA-N6-FHAIBP and to prevent its conversion to 3-dA-N6-FAABP by restoration of the arom. system. The adduct 3-dA-N6-FHAIBP was also formed in the reaction of N-OSO3H-FAABP with DNA; it accounted for 3-6% of total covalent binding.

IT 132884-70-9

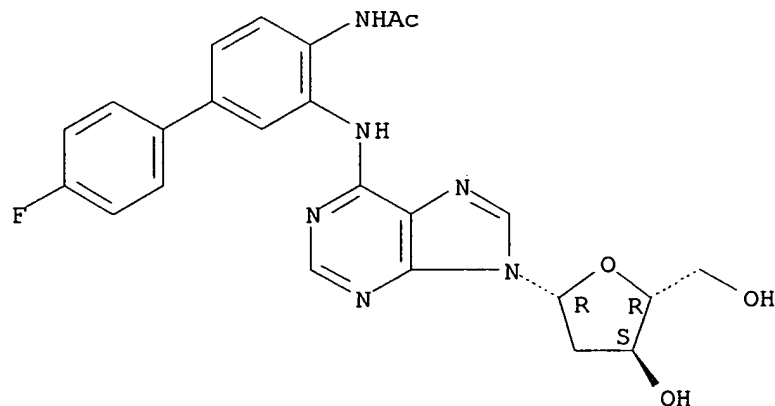
RL: FORM (Formation, nonpreparative)

(formation of, via deoxyadenosine reaction with hydroxyfluoro(acetylamino)biphenyl)

RN 132884-70-9 CAPLUS

CN Adenosine, N-[4-(acetylamino)-4'-fluoro[1,1'-biphenyl]-3-yl]-2'-deoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

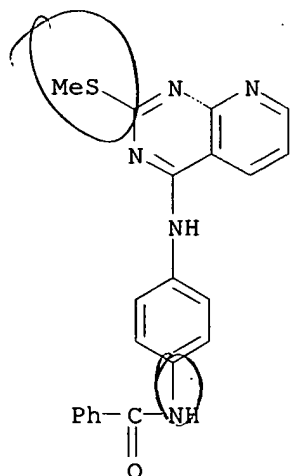


*Isomer*

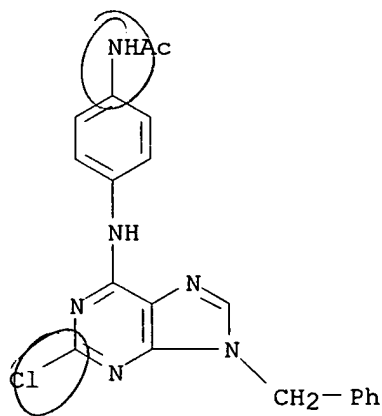
L8 ANSWER 18 OF 21 CAPLUS COPYRIGHT 2003 ACS  
 AN 1991:164275 CAPLUS  
 DN 114:164275  
 TI Preparation of 4-amino-2-(methylthio)pyrido[2,3-d]pyrimidines as diuretics  
 IN Monge, A.; Martinez Merino, V.; San Martin, M. Carmen  
 PA Tena, Guillermo, Laboratorios Morrith S. A., Spain  
 SO Span., 6 pp.  
 CODEN: SPXXAD  
 DT Patent  
 LA Spanish  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	ES 2009217	A6	19890916	ES 1987-1988	19870707
PRAI	ES 1987-1988		19870707		
OS	MARPAT 114:164275				

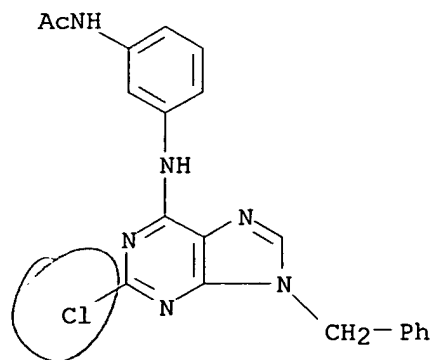
AB Title compds. I [R = morpholino, piperidino, piperazino, 2-pyridylamino, 2-thiazolylamino, NPh, NHC6H4X (X = 4-Cl, 4-OMe, 4-NO<sub>2</sub>, 4-Bu, 4-NHBz, 4-OH, 4-Ac, 2-Bz, 4-SO<sub>2</sub>NH<sub>2</sub>), NHNH<sub>2</sub>, NHNHY (Y = Me, Ph, Ac), homologous 5- or 6-membered N-contg. radicals], useful as diuretics and antihypertensives (no data), are prepd. by amination of I (R = leaving group, e.g., Br, Cl, iodo, F) with corresponding amines. Thus, 2-methylthio-3H-pyrido[2,3-d]pyrimidin-4-one-HCl was refluxed in POCl<sub>3</sub> to give 65% I (R = Cl), which was stirred with 4-H<sub>2</sub>NC<sub>6</sub>H<sub>4</sub>Ac in EtOH at room temp. and then at reflux to give 45% I (R = NHC<sub>6</sub>H<sub>4</sub>Ac-4).  
 IT **133055-93-3P**  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (prepn. of, as diuretic)  
 RN 133055-93-3 CAPLUS  
 CN Benzamide, N-[4-[[2-(methylthio)pyrido[2,3-d]pyrimidin-4-yl]amino]phenyl]-  
 (9CI) (CA INDEX NAME)



L8 ANSWER 19 OF 21 CAPLUS COPYRIGHT 2003 ACS  
 AN 1990:197954 CAPLUS  
 DN 112:197954  
 TI Antirhinovirus activity of 6-anilino-9-benzyl-2-chloro-9H-purines  
 AU Kelley, James L.; Linn, James A.; Selway, J. W. T.  
 CS Div. Org. Chem., Burroughs Wellcome Co., Research Triangle Park, NC,  
 27709, USA  
 SO Journal of Medicinal Chemistry (1990), 33(5), 1360-3  
 CODEN: JMCMAR; ISSN: 0022-2623  
 DT Journal  
 LA English  
 OS CASREACT 112:197954  
 AB 6-Anilino-9-benzyl-2-chloropurines I [R = H, alkyl, alkoxy, alkylthio,  
 (un)substituted amino, cyano, Br, CF<sub>3</sub>, F, CO<sub>2</sub>Et, SO<sub>2</sub>Me, NO<sub>2</sub>; R<sub>1</sub> = H; R =  
 H, R<sub>1</sub> = Me] were prepd. and tested for antirhinovirus activity. Most of  
 the compds. were prepd. by reaction of the aniline with  
 9-benzyl-2,6-dichloro-9H-purine. Structure-activity relationship studies  
 revealed that compds. with small, lipophilic para substituents were good  
 inhibitors of serotype 1B. Several compds. had good activity against four  
 representative serotypes.  
 IT **125802-54-2P 125827-88-5P**  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological  
 study, unclassified); SPN (Synthetic preparation); BIOL (Biological  
 study); PREP (Preparation)  
 (prepn. and virucidal activity of)  
 RN 125802-54-2 CAPLUS  
 CN Acetamide, N-[4-[[2-chloro-9-(phenylmethyl)-9H-purin-6-yl]amino]phenyl]-  
 (9CI) (CA INDEX NAME)

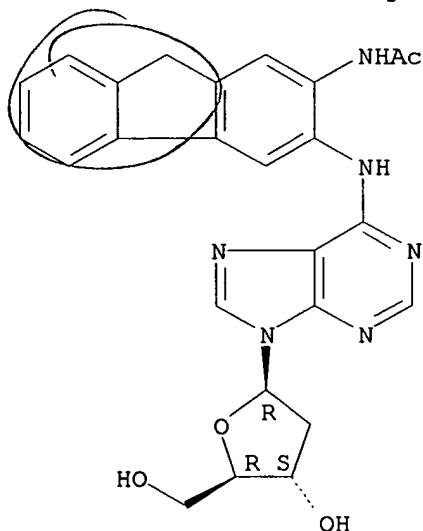


RN 125827-88-5 CAPLUS  
 CN Acetamide, N-[3-[[2-chloro-9-(phenylmethyl)-9H-purin-6-yl]amino]phenyl]-  
 (9CI) (CA INDEX NAME)



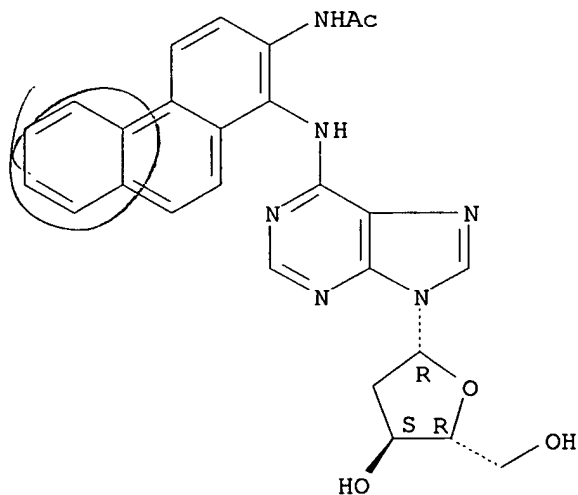
L8 ANSWER 20 OF 21 CAPLUS COPYRIGHT 2003 ACS  
 AN 1983:465632 CAPLUS  
 DN 99:65632  
 TI The formation of acetylaminofluorene adducts in poly(dC-dG) and poly(dA-dT) on reaction with N-acetoxy-2-acetylaminofluorene and the effect of such modification upon the polymers as templates for DNA polymerases  
 AU Saffhill, Roy; Abbott, Peter J.  
 CS Paterson Lab., Christie Hosp Holt Radium Inst., Manchester, M20 9BX, UK  
 SO Chemico-Biological Interactions (1983), 44(1-2), 95-110  
 CODEN: CBINA8; ISSN: 0009-2797  
 DT Journal  
 LA English  
 AB N-Acetoxy-2-acetylaminofluorene (AcO-AAF) [6098-44-8] reacts with the alternating DNA-like polynucleotides poly(dC-dC) [62081-33-8] and poly(dA-dT) [26966-61-0] in vitro to give adducts of the guanine and adenine bases similar to those reported to be formed in DNA. A previously unobsd. guanine adduct was detected in poly(dC-dC). Double-labeling studies of the poly(dC-dG) adduct showed that the 7- and 8-positions of guanine are not involved. Similarly, a thymine adduct of unknown structure was obsd. in poly(dA-dT). Modification of the polymers with AcO-AAF inhibits their capacity to act as templates for Escherichia coli DNA polymerase I [9012-90-2] and mammalian DNA polymerase .alpha., although the binding of the polymerases to the polynucleotides is unaffected. Such modification also leads to an increase in the levels of noncomplementary nucleotides incorporated into newly synthesized DNA.  
 IT **86637-10-7**  
 RL: FORM (Formation, nonpreparative)  
 (formation of, in acetoxyacetylaminofluorene reaction with DNA)  
 RN 86637-10-7 CAPLUS  
 CN Adenosine, N-[2-(acetylamino)-9H-fluoren-3-yl]-2'-deoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



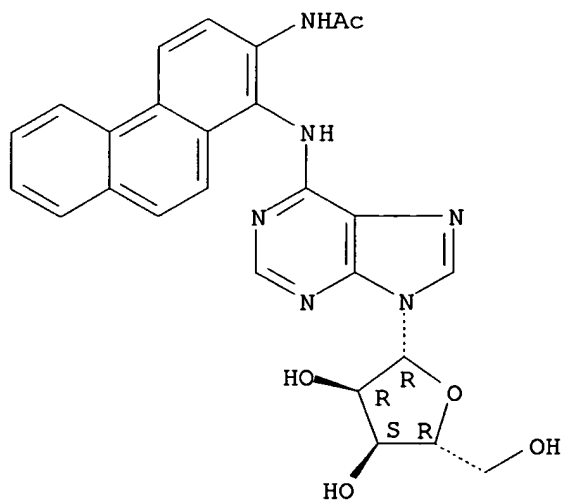
L8 ANSWER 21 OF 21 CAPLUS COPYRIGHT 2003 ACS  
 AN 1975:509570 CAPLUS  
 DN 83:109570  
 TI N-aryl-N-acetylnitrenium ions in aromatic amine carcinogenesis. 3.  
 Adducts between the carcinogen 2-acetamidophenanthrene and adenine and  
 guanine of DNA  
 AU Scribner, John D.; Naimy, Norma K.  
 CS Fred Hutchinson Cancer Res. Cent., Seattle, WA, USA  
 SO Cancer Research (1975), 35(6), 1416-21  
 CODEN: CNREA8; ISSN: 0008-5472  
 DT Journal  
 LA English  
 AB N-hydroxy-2-acetamidophenanthrene sulfate ester K salt (I) [41935-81-3]  
 reacted with calf thymus DNA in vitro, and purifn. of the reaction  
 products on Sephadex LH-20 gave 2 fractions which were identical with  
 deoxyadenosineacetamidophenanthrene [56211-92-8] and  
 deoxyguanosineacetamidophenanthrene [56211-93-9], resp. Reaction of I  
 with guanosine [118-00-3], or adenine [73-24-5] gave the adducts,  
 8-(N-2-phenanthrylacetamido)guanosine (II) [56211-94-0], or  
 N6-1-(2-acetamidophenanthryl)adenosine [56211-95-1], resp.  
 These reactions together with Hueckel MO calcs. suggest that the relative  
 tendencies of a series of N-aryl-N-acetylnitrenium ions to react with  
 guanosine and adenosine may be predicted.  
 IT **56211-92-8**  
 RL: BIOL (Biological study)  
 (acetamidophenanthrene-DNA interaction adduct)  
 RN 56211-92-8 CAPLUS  
 CN Adenosine, N-[2-(acetylamino)-1-phenanthrenyl]-2'-deoxy- (9CI) (CA INDEX  
 NAME)

Absolute stereochemistry.



IT **56211-95-1**  
 RL: BIOL (Biological study)  
 (acetamidophenanthrene-adenosine reaction adduct)  
 RN 56211-95-1 CAPLUS  
 CN Adenosine, N-[2-(acetylamino)-1-phenanthrenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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(FILE 'HOME' ENTERED AT 11:32:14 ON 16 JAN 2003)

FILE 'REGISTRY' ENTERED AT 11:32:20 ON 16 JAN 2003

L1 STRUCTURE UPLOADED

L2 3 S L1 SSS SAM

FILE 'STNGUIDE' ENTERED AT 11:34:03 ON 16 JAN 2003

FILE 'REGISTRY' ENTERED AT 11:46:27 ON 16 JAN 2003

L3 738 S L1 SSS FUL

L4 STRUCTURE UPLOADED

L5 31 S L4 SSS SAM SUB=L3

L6 654 S L4 SSS FUL SUB=L3

L7 84 S L3 NOT L6

FILE 'CAPLUS' ENTERED AT 11:48:38 ON 16 JAN 2003

L8 21 S L7

FILE 'CAOLD' ENTERED AT 11:49:22 ON 16 JAN 2003

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L9 0 L7

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COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

0.40

282.99

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

ENTRY

SESSION

CA SUBSCRIBER PRICE

0.00

-13.67

STN INTERNATIONAL LOGOFF AT 11:49:40 ON 16 JAN 2003